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FILE 'REGISTRY' ENTERED AT 13:48:35 ON 21 NOV 2008
               STRUCTURE UPLOADED
L2
             0 S L1
1.3
             22 S L1 SSS FULL
    FILE 'HCAPLUS' ENTERED AT 13:49:51 ON 21 NOV 2008
L4
            19 S L3
             10 S L4 AND (PY<2003 OR AY<2003 OR PRY<2003)
    FILE 'REGISTRY' ENTERED AT 15:17:17 ON 21 NOV 2008
L6
               STRUCTURE UPLOADED
L7
             0 S L6
L8
               STRUCTURE UPLOADED
L9
             0 S L8
L10
             13 S L8 SSS FULL
    FILE 'HCAPLUS' ENTERED AT 15:19:07 ON 21 NOV 2008
L11
             0 S L10/THU
L12
             4 S L10
    FILE 'REGISTRY' ENTERED AT 17:10:58 ON 21 NOV 2008
L13
               STRUCTURE UPLOADED
L14
             50 S L13
L15
         16599 S L13 SSS FULL
    FILE 'HCAPLUS' ENTERED AT 17:11:49 ON 21 NOV 2008
T.16
          6621 S L15/THU
         259600 S NEOINTIM? OR ATHEROSCLEROSIS OR ARTERY OR ARTERIAL
L17
L18
           205 S L16 AND L17
L19
           127 S L18 AND (PY<2003 OR AY<2003 OR PRY<2003)
    FILE 'STNGUIDE' ENTERED AT 17:12:49 ON 21 NOV 2008
    FILE 'REGISTRY' ENTERED AT 17:14:14 ON 21 NOV 2008
L20
               STRUCTURE UPLOADED
L21
             0 S L1 SUB=L15 FULL
L22
           213 S L20 SUB=L15 FULL
    FILE 'HCAPLUS' ENTERED AT 17:15:08 ON 21 NOV 2008
L23
           124 S L22
L24
           205 S L16 AND L17
L25
             1 S L23 AND L17
L26
            21 S L22/THU
L27
            19 S L26 AND (PY<2003 OR AY<2003 OR PRY<2003)
    FILE 'REGISTRY' ENTERED AT 17:29:02 ON 21 NOV 2008
1.28
               STRUCTURE UPLOADED
L29
            115 S L28 SUB=L15 FULL
     FILE 'HCAPLUS' ENTERED AT 17:30:04 ON 21 NOV 2008
L30
            256 S L29
L31
             0 S L17 AND L30
L32
         209639 S HYPERCHOLESTEROLEM? OR HYPERLIPIDEM? OR DYSLIPIDEM? OR CHOLES
L33
             4 S L30 AND L32
     FILE 'STNGUIDE' ENTERED AT 17:31:09 ON 21 NOV 2008
    FILE 'REGISTRY' ENTERED AT 17:31:42 ON 21 NOV 2008
1.34
               STRUCTURE UPLOADED
1.35
             5 S L34
            95 S L34 SUB=L15 FULL
L36
```

```
FILE 'HCAPLUS' ENTERED AT 17:32:13 ON 21 NOV 2008
L37
           238 S L36
1.38
           209 S (L17 OR L30) AND L37
L39
            8 S L36/THU
L40
             5 S (L17 OR L30) AND L39
    FILE 'REGISTRY' ENTERED AT 17:38:05 ON 21 NOV 2008
L41
              STRUCTURE UPLOADED
L42
             0 S L41
L43
             0 S L41 SSS FULL
L44
               STRUCTURE UPLOADED
L45
            13 S L44
L46
          1484 S L44 SSS FULL
    FILE 'HCAPLUS' ENTERED AT 17:42:20 ON 21 NOV 2008
L47
           95 S L46/THU
        428791 S CHOLESTEROL OR HYPERLIPIDEM? OR ATHEROSCLEROSIS OR NEOINTIM?
L48
L49
             7 S L47 AND L48
    FILE 'REGISTRY' ENTERED AT 12:21:21 ON 24 NOV 2008
L1
               STRUCTURE UPLOADED
L2
              2 S L1
               EXP SERINE PHOSPHORIC ACID/CN
               EXP SERINE PHOSPH/CN
               EXP SERINE PHOSPHATE/CN
1.3
             1 S E5
    FILE 'HCAPLUS' ENTERED AT 12:35:58 ON 24 NOV 2008
            60 S L3/THU
L4
```

31 S L4 AND (PY<2003 OR AY<2003 OR PRY<2003)

L5

```
chain nodes :
1 2 3 4 5 6 7 8 9 10 11 16 17 19
chain bonds :
1-2 1-3 1-5 1-19 2-6 3-4 4-7 5-16 6-17 8-9 8-10
exact/norm bonds :
1-5 4-7 5-16 6-17 8-9 8-10
exact bonds :
1-2 1-3 1-19 2-6 3-4
```

G1:P,[*1],[*2]

Connectivity: 10:1 X maximum RC ring/chain 11:1 X maximum RC ring/chain Match level : 1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 16:CLASS 17:CLASS 19:CLASS => s 113 SAMPLE SEARCH INITIATED 17:11:16 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -2199 TO ITERATE

2000 ITERATIONS 91.0% PROCESSED INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

50 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

PROJECTED ITERATIONS: 41167 TO 46793 PROJECTED ANSWERS: 14874 TO 18330

T.14 50 SEA SSS SAM L13

=> d 114 scan

L14 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

INDEX NAME NOT YET ASSIGNED

MF C57 H112 N O13 P

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L14 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN Poly(oxy-1,2-ethanediy1), α -[[[2-[[4-[4-[[[(1S)-1-carboxy-2-[[[1,4-TN dihydro-7-[(1H-imidazol-2-ylamino)methyl]-1-methyl-4-oxo-3quinolinyl]carbonyl]amino]ethyl]amino]sulfonyl]-3,5-dimethylphenoxy]-1oxobutyl]amino]ethyl]amino]carbonyl]-m-[[(9R)-6-hydroxy-6-oxido-1,12dioxo-9-[(1-oxooctadecyl)oxy]-5,7,11-trioxa-2-aza-6-phosphanonacos-1-

yl]oxy]-, sodium salt (1:1) MF (C2 H4 O)n C75 H120 N9 O19 P S . Na

PMS

Na

PAGE 1-B

$$- (\operatorname{CH}_2)_3 - \operatorname{C-NH-CH}_2 - \operatorname{CH}_2 - \operatorname{NH-C} - \operatorname{C-NH-CH}_2 - \operatorname{CH}_2 - \operatorname{NH-CH}_2 - \operatorname{CH}_2 - \operatorname{CH$$

PAGE 1-C

- L14 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN B-D-Glucopyranose, 1-[(2R)-2-[[(5Z,8Z,11Z,14Z)-1-oxo-5,8,11,14-eicosatetraenyl]oxy]-3-[(1-oxooctadecyl)oxy]propyl hydrogen phosphate], compd. with N,N-diethylethanamine (1:1)
- MF C47 H83 O13 P . C6 H15 N

CM

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

CM 2

Et Et-N-Et

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L14 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN IN INDEX NAME NOT YET ASSIGNED MF C30 H61 N O8 P

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 113 sss full

FULL SEARCH INITIATED 17:11:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 44020 TO ITERATE

100.0% PROCESSED 44020 ITERATIONS SEARCH TIME: 00.00.01

16599 ANSWERS

L15 16599 SEA SSS FUL L13

=> file hcaplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY
SESSION
178.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE
TOTAL

ENTRY SESSION
CA SUBSCRIBER PRICE 0.00 -11.20

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FILE COVERS 1907 - 21 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 20 Nov 2008 (20081120/ED)

 $\mbox{HCAplus}$ now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 115/thu 35966 L15 1070979 THU/RL L16 6621 L15/THU (L15 (L) THU/RL)

=> s neointim? or atherosclerosis or artery or arterial

3676 NEOINTIM? 63834 ATHEROSCLEROSIS

151893 ARTERY 100583 ARTERIAL

L17 259600 NEOINTIM? OR ATHEROSCLEROSIS OR ARTERY OR ARTERIAL

```
=> s 116 and 117

L18 205 L16 AND L17

=> s 118 and (PY<2003 or AY<2003 or PRY<2003)

22961893 PY<2003

4500185 AY<2003

3968543 PRY<2003

L19 127 L18 AND (PY<2003 OR AY<2003 OR PRY<2003)
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Uploading C:\Program Files\STNEXP\Queries\10821739pyrophosphate.str





Connectivity:
10:1 X maximum RC ring/chain 11:1 X maximum RC ring/chain
Match level:

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS 21:CLASS

L20 STRUCTURE UPLOADED

=> s 11 sub=115

ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full FULL SUBSET SEARCH INITIATED 17:14:35 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS

SEARCH TIME: 00.00.01

L21 0 SEA SUB=L15 SSS FUL L1

=> s 120 sub=115

ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full FULL SUBSET SEARCH INITIATED 17:14:48 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 226 TO ITERATE

100.0% PROCESSED 226 ITERATIONS

213 ANSWERS

0 ANSWERS

SEARCH TIME: 00.00.01

L22 213 SEA SUB=L15 SSS FUL L20

=> d 122 scan

L22 213 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN IN 1,2-Pyrrolidinedicarboxylic acid, 4-[[[[(2R)-2,3-bis[(1-

oxohexadecyl)oxy]propoxy]hydroxyphosphinyl]oxy]hydroxyphosphinyl]oxy]-,
1-(1,1-dimethylethyl) 2-(2,5-dioxo-1-pyrrolidinyl) ester, (28,4R)-

MF C49 H88 N2 O17 P2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

- L22 213 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN Diphosphoric acid, mono[2,3-bis(acetyloxy)propyl] ester (9CI)
- MF C7 H14 O11 P2

$$\begin{array}{c|c} \mathsf{OAc} & \mathsf{O} \\ & \mathsf{I} \\ \mathsf{AcO-CH}_2-\mathsf{CH-CH}_2-\mathsf{O-P-OPO}_3\mathsf{H}_2 \\ & \mathsf{OH} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L22 213 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- ${\tt IN} \quad {\tt Hexadecanoic\ acid,\ 5,7-dioxido-4,6,8-trioxa-5,7-diphosphaundecane-1}$
- 1,2,10,11-tetrayl ester (9CI)
- MF C70 H136 O15 P2

PAGE 1-B

- (CH₂)₁₄-Me

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L22 213 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 9-Octadecenoic acid (9Z)-, (1R)-1-(3,5,5-trihydroxy-3,5-dioxido-2,4-dioxa-

3,5-diphosphapent-1-yl)-1,2-ethanediyl ester, diammonium salt MF C39 H74 O11 P2 . 2 H3 N

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-A

● 2 NH3

PAGE 1-B

_ Me

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):file hcaplus 'FILE HCAPLUS' IS NOT VALID HERE

To display more answers, enter the number of answers you would like to see. To end the display, enter "NONE", "N", "O", or "END". HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file hcaplus COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL
FULL ESTIMATED COST	85.12	686.26
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-11.20

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FILE COVERS 1907 - 21 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 20 Nov 2008 (20081120/ED)

 ${
m HCAplus}$ now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 122 L23 124 L22 => s 116 and 117 L24 205 L16 AND L17 => s 123 and 117 L25 1 L23 AND L17

=> d 125 ti abs bib

L25 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

Subtype-Selective Antagonists of Lysophosphatidic Acid Receptors Inhibit Platelet Activation Triggered by the Lipid Core of Atherosclerotic Plaques AB Lysophosphatidic acid (LPA) is a platelet-activating component of mildly oxidized LDL (mox-LDL) and lipids isolated from human atherosclerotic plaques. Specific antagonists of platelet LPA receptors could be useful inhibitors of thrombus formation in patients with cardiovascular disease. Short-chain analogs of phosphatidic acid (PA) were examined for their effect on two initial platelet responses, platelet shape change and Ca2+ mobilization. Dioctylglycerol pyrophosphate [DGPP(8:0)] and dioctylphosphatidic acid [PA(8:0)], recently described selective antagonists of the LPA1 and LPA3 receptors, inhibited platelet activation evoked by LPA but not by other platelet stimuli. DGPP(8:0) was more potent than PA(8:0). DGPP(8:0) also inhibited platelet shape change induced by mox-LDL and lipid exts. from human atherosclerotic plaques. Notably, we demonstrate for the first time that the lipid-rich core isolated from soft plaques was able to directly induce shape change. This effect was completely abrogated by prior incubation of platelets with DGPP(8:0). Moreover, coapplication of the lipid-rich core or LPA together with subthreshold concns. of ADP or epinephrine synergistically induced platelet aggregation; this effect was inhibited by DGPP(8:0). Anal. by liquid chromatog.-mass spectrometry revealed the presence of LPA alkyl- and acyl-mol. species with high platelet-activating potency (16:0-alkyl-LPA, 20:4-acyl-LPA). LPA mols. present in the core region of atherosclerotic plaques trigger rapid platelet activation through the stimulation of LPA1 and LPA3 receptors. Antagonists of platelet LPA receptors might provide a new strategy to prevent thrombus formation in patients with cardiovascular diseases.

AN 2003:601141 HCAPLUS <<LOGINID::20081121>>

DN 140:281040

Subtype-Selective Antagonists of Lysophosphatidic Acid Receptors Inhibit Platelet Activation Triggered by the Lipid Core of Atherosclerotic Plaques AU Rother, Enno; Brandl, Richard; Baker, Daniel L.; Goyal, Pankaj; Gebbard,

```
Harry; Tigyi, Gabor; Siess, Wolfgang
    Medical Faculty, Institute for Prevention of Cardiovascular Diseases,
CS
    University of Munich, Munich, Germany
SO
    Circulation (2003), 108(6), 741-747
    CODEN: CIRCAZ; ISSN: 0009-7322
PB
    Lippincott Williams & Wilkins
DT
    Journal
LA
    English
             THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 34
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
=> s 122/thu
          124 L22
      1070979 THU/RL
1.26
           21 L22/THU
                (L22 (L) THU/RL)
=> s 126 and (PY<2003 or AY<2003 or PRY<2003)
     22961893 PY<2003
      4500185 AY<2003
      3968543 PRY<2003
           19 L26 AND (PY<2003 OR AY<2003 OR PRY<2003)
=> d 127 1-19 ti abs bib hitstr
L27 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
TI
    Acvclovir derivatives for topical use
AB
    The invention involves compns. for topical use in herpes virus infections
    comprising anti-herpes nucleoside analog phosphate esters, such as
    acyclovir monophosphate, acyclovir diphosphate, and acyclovir
    triphosphate, which show increased activity against native strains of
    herpes virus as well as against resistant strains, particularly thymidine
    kinase neg. strains of virus. Anti-herpes nucleoside analogs phosphate
    esters include the phosphoramidates and phosphothiorates, as well as
    polyphosphates comprising C and S bridging atoms.
AN
    1997:121416 HCAPLUS <<LOGINID::20081121>>
DN
    126:135594
OREF 126:26139a,26142a
ΤI
    Acyclovir derivatives for topical use
IN
    Hostetler, Karl Y.
    Hostetler, Karl Y., USA
PA
SO
   PCT Int. Appl., 34 pp.
    CODEN: PIXXD2
DT
    Patent
I.A
    English
FAN. CNT 5
    PATENT NO.
                                                             DATE
                       KIND
                               DATE
                                          APPLICATION NO.
PΙ
    WO 9640088
                         A1
                               19961219
                                         WO 1996-US10085 19960606 <--
        W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
            ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
            LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
            SE, SG
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
    US 5879700
                         Α
                               19990309 US 1995-480456
                                                                 19950607 <--
    AU 9663842
                         Α
                               19961230
                                         AU 1996-63842
EP 1996-923289
                                                                  19960606 <--
    EP 831794
                         A1
                             19980401
                                                                  19960606 <--
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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IE. FI

PRAI	JP 11507642 US 1995-480456 US 1991-777683	T A B2	19990706 19950607 19911015		19960606 <
	US 1993-60258	A2	19930512	<	
	WO 1996-US10085	W	19960606	<	
TT	120701 02 0				

IT 139701-83-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses) (acveloyir derivs, for topical use against heroes virus infections)

RN 139701-83-0 HCAPLUS

CN Hexadecanoic acid, 1-[10-(2-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)-3,5-dihydroxy-3,5-dioxido-2,4,6,9-tetraoxa-3,5-diphosphadec-1-yl]-1,2-ethanediyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- L27 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Synthesis and antiproliferative activity of

cytidine-5'-alkylphosphonophosphates and structurally related compounds
AB The chemical synthesis of cytidine-5'-alkyl- and

cytidine-5'-alkyl(acyl)deoxyglycerophosphonophosphates is reported. The compds. obtained represent a novel class of cytostatically active agents based on phospholipids, which inhibit the growth of various tumor cell lines in vitro. They are phosphono analogs of the cytidine-5'-diphosphate-diacylglycerol (CDP-DAG) possessing a structurally

modified lipid moiety and a phospholipase C-resistant P-C bond. The antiproliferative efficacy of the cytidine-5'-alkylphosphonophosphates

strongly depends on the alkyl chain length. The cytidine-5'-hexadecylphosphonophosphate was the most effective compound tested in this study. Its cytostatic effect was distinctly higher than that of the alkyl(acyl)deoxyglycero derivs. and of the corresponding diphosphates. The structures of the new compds. were confirmed by fast atom bombardment mass spectrometry (FAB).

AN 1996:566510 HCAPLUS <<LOGINID::20081121>>

DN 125:292238

OREF 125:54355a,54358a

TI Synthesis and antiproliferative activity of

cytidine-5'-alkylphosphonophosphates and structurally related compounds AU Brachwitz, H.; Lachmann, U.; Thomas, Y.; Bergmann, J.; Berdel, W. E.; Langen, P.

CS Freie Universitaet Berlin, Universitaetsklinikum Benjamin Franklin, Abt. Haematologie and Onkologie, Berlin, Germany

SO Chemistry and Physics of Lipids (1996), 83(1), 77-85 CODEN: CPLIA4; ISSN: 0009-3084

PB Elsevier

DT Journal

LA English IT 3152-52

T 3152-52-1 182919-93-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation and structure activity of cytidine hexadecylphosphonophosphates as antitumor agents)

RN 3152-52-1 HCAPLUS

Cytidine 5'-(trihydrogen diphosphate),

P'-[2,3-bis[(1-oxohexadecyl)oxy]propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 182919-93-3 HCAPLUS

CN Cytidine 5'-(trihydrogen diphosphate),

P'-[3-(octadecyloxy)-2-[(1-oxooctadecyl)oxy]propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L27 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
- Ether lipid-nucleoside covalent conjugates
- AB Conjugates of ether lipids and antiviral nucleoside analogs are disclosed, along with pharmaceutical compns. containing the same and methods of using the same to combat HIV-1 infections. Illustrative are 3'-azido-3'-deoxythymidine-5'-monophosphatoxypropane and
 - 3'-azido-3'-deoxythymidine-5'-butyrate-y-N, N, N-trimethyammonium-
 - β-(1-phospho-2-ethoxy-3-hexadecyloxypropane). 1996:332929 HCAPLUS <<LOGINID::20081121>>
- AN DN 125:96065
- OREF 125:17899a,17902a
- Ether lipid-nucleoside covalent conjugates
- Piantadosi, Claude; Marasco, Canio J., Jr.; Kucera, Louis S.
- Wake Forest University, USA; University of North Carolina PA SO U.S., 11 pp., Cont. of U. S. Ser. No. 955, 709, abandoned.
- CODEN: USXXAM
- DT Patent English
- LA

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5512671	A	19960430	US 1995-418853	19950407 <
PRAI US 1995-418853	B1	19950407	<	
US 1993-955709		19930216	<	

- MARPAT 125:96065 IT
- 178394-14-4P
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (phospholipid-nucleoside conjugates as virucides for treatment of HIV-1 infections)
- 178394-14-4 HCAPLUS RN
- Thymidine 5'-(trihydrogen diphosphate), 3'-azido-3'-deoxy-, P'-[2-ethoxy-3-(hexadecyloxy)propyl] ester (9CI) (CA INDEX NAME)

L27 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN TI Nucleoside 5'-diphosphate conjugates of ether and thioether lipids as

TI Nucleoside 5'-diphosphate conjugates of ether and thioether lipids as anti-HIV agents
GI

AB A compound which exhibits anti-HIV activity has the formula I wherein: R1 is selected from the group consisting of alkyls and alkenyls containing from 8 to 22 carbon atoms; A is selected from the group consisting of 0 and S atoms; R2 is selected from the group consisting of alkyls, hetero atom containing alkyls, and alkenyls containing from 8 to 22 carbon atoms; and the nucleoside is selected from the group consisting of 2',3'-dideoxynucleosides, 3'-azido-2',3'-dideoxynucleosides, and 2',3'-dideoxynucleosides. Thus, e.g., condensation of AZT monophosphate morpholidate with rac-1-S-octadecyl-2-0-palmitoyl-1-

Ι

II

thiogylcerol 3-phosphate afforded 3'-azido-3'-deoxythymidine-5'diphosphate-rac-1-S-octadecyl-O-palmitoyl-1-thioglycerol Na salt (II.2Na, 27%) which protected 80% of HIV-infected CBM cells at as low as 5.80

+ 10-7 M. Micelle formulations were given.

AN 1996:106711 HCAPLUS <<LOGINID::20081121>>

DN 124:290190

OREF 124:53835a,53838a

- TI Nucleoside 5'-diphosphate conjugates of ether and thioether lipids as anti-HIV agents
- IN Hong, Chung I.; West, Charles R.; Chu, Chung K.
- PA Health Research, Inc., USA; University of Georgia Research Foundation, Inc.
- SO U.S., 16 pp.

CODEN: USXXAM

DT Patent

LA English FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5484911	A	19960116	US 1993-41725	19930401 <
PRAI	US 1993-41725		19930401	<	

OS MARPAT 124:290190

T 175459-10-6P 175459-12-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BJOL (Biological study); PREP (Preparation); USES (Uses) (nucleoside 5'-diphosobate conjugates of ether and thioether lipids as

(nucleoside 5'-diphosphate conjugates of ether and thioether lipids as anti-HIV agents)

RN 175459-10-6 HCAPLUS

CN Thymidine 5'-(trihydrogen diphosphate), 3'-azido-3'-deoxy-,
P'-[2-[(1-oxohexadecyl)oxy]-3-(tetradecyloxy)propyl] ester, disodium salt
(9C1) (CA INDEX NAME)

Absolute stereochemistry.

●2 Na

RN 175459-12-8 HCAPLUS

CN Thymidine 5'-(trihydrogen diphosphate), 3'-azido-3'-deoxy-, P'-[2-[(1-oxohexadecyl)oxy]-3-(tetradecyloxy)propyl] ester (9CI) (CA INDEX NAME)

- L27 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Antiviral effect in human cytomegalovirus-infected cells, pharmacokinetics, and intravitreal toxicology in rabbits of acyclovir diphosphate dimwristovlqlveerol
- AB Acyclovir diphosphate dimyristoylqlycerol (ACVDP-DG) is a lipid prodrug which is active against acyclovir (ACVD-resistant strains of herpes simplex virus because of its intracellular metabolism to ACV monophosphate. In human cytomegalovirus (HCMV)-infected MRC-5 cells, ACVDP-DG was 9-fold more active than ACV. When liposomal [8-3H]ACVDP-DG was injected intravitreally at the maximum nontoxic dose of 1 mnol in rabbits, the drug remained above its estimated 90% HCMV-inhibitory concentration for 18 days. Intravitreal ganciclovir persists above its 90% inhibitory concentration for only
- 1 to 2 days. ACVDP-DG may be useful as a local treatment for HCMV retinitis.
- AN 1995:597495 HCAPLUS <<LOGINID::20081121>>
- DN 123:74293
- OREF 123:12914h,12915a
- TI Antiviral effect in human cytomegalovirus-infected cells,
 - pharmacokinetics, and intravitreal toxicology in rabbits of acyclovir diphosphate dimyristoylglycerol
- AU Shakiba, Sima; Freeman, William R.; Flores-Aguilar, Marisa; Munguia, David; Tatebayashi, Misako; Besen, Gilberto; Amani, Ramin; Wiley, Clayton A.; Yuong, Chou; et al.
- CS Departments of Ophthalmology, University of California, San Diego/La Jolla, CA, 92093, USA
- SO Antimicrobial Agents and Chemotherapy (1995), 39(6), 1383-5 CODEN: AMACCQ; ISSN: 0066-4804
- PB American Society for Microbiology
- DT Journal
- LA English
- IT 139701-81-8
 - RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (antiviral effect in human cytomegalovirus-infected cells and
 - (antiviral effect in numan cytomegalovirus-infected cells and pharmacokinetics and intravitreal toxicol. in rabbits of acyclovir diphosphate dimyristoylglycerol with liposomes)
- RN 139701-81-8 HCAPLUS
- CN Tetradecanoic acid, 1-[10-(2-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)-3,5-dihydroxy-3,5-dioxido-2,4,6,9-tetraoxa-3,5-diphosphadec-1-yl]-1,2-ethanediyl ester, (R)-(9CI) (CA INDEX NAME)

PAGE 1-B

GI

L27 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

Synthesis and antiviral activity of 3'-azido-3'-deoxythymidine triphosphate distearoylglycerol: a novel phospholipid conjugate of the anti-HIV agent AZT

AB Phospholipid conjugates of 3'-azido-3'-deoxythymidine (AZT) show activity against the human immunodeficiency virus (HIV) in vitro. In a previous report (K.Y. Hostetler, L.M. Stuhmiller, B.H.M. Lenting, H. van den Bosch and D.D. Richman (1991), J. Biol. Chemical 265, 6112-6117), the syntheses and anti-HIV activities of AZT mono- and diphosphate diglyceride have been

1

described. The authors now report on the synthesis, characterization and biol. activity of 3'- azido-3'-deoxythymidine triphosphate distearoylglycerol (AZTTP-DSG) (I). The compound was prepared by the condensation of AZT diphosphate with distearoylphosphatidic acid morpholidate in anhydrous pyridine at room temperature and purified by high-performance liquid chromatog, using a silica column. Characterization was performed with 31P-NMR and IR analyses and determination of the fatty acid, phosphorus and nucleoside content of the product. AZTTP-DSG inhibited HIV-1 replication in both CEM and HT4-6C cells at a level intermediate in potency between its mono- and diphosphate analogs. The IC50 values of AZTTP-DSG were 0.33 and 0.79 µM in these two cell lines, resp. In addition, AZTTP-DSG was less toxic to CEM cells in vitro than the other AZT liponucleotides and reduced viable cell nos. in this cell type by 50% at 1000 μM . Initial studies on the metabolism of AZTTP-DSG revealed that both AZT and AZT monophosphate were liberated from the lipid pro-drug by a rat liver mitochondrial enzyme preparation These phospholipid derivs. of AZT nucleotides represent pro-drugs for the intracellular delivery of phosphorylated antiviral nucleoside analogs.

AN 1994:499134 HCAPLUS <<LOGINID::20081121>>

DN 121:99134

OREF 121:17555a,17558a

- II Synthesis and antiviral activity of 3'-azido-3'-deoxythymidine triphosphate distearoylglycerol: a novel phospholipid conjugate of the anti-HIV agent AZT
- AU van Wijk, G. M. T.; Hostetler, K. Y.; Kroneman, E.; Richman, D. D.; Sridhar, C. N.; Kumar, R.; van den Bosch, H.
- CS Centre for Biomembranes and Lipid Enzymology, Utrecht University,
- Padualaan 8, CH Utrecht, 3584, Neth.

 SO Chemistry and Physics of Lipids (1994), 70(2), 213-22
- CODEN: CPLIA4: ISSN: 0009-3084

DT Journal

LA English IT 146198-72-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiviral activity of, against HIV-1 virus in human cells)

RN 146198-72-3 HCAPLUS

CN Thymidine 5'-(trihydrogen diphosphate), 3'-azido-3'-deoxy-, P'-[2,3-bis[(1-oxooctadecyl)oxy]propyl] ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Me

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L27 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
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- ${\tt TI}$ Cytostatic effects of various alkyl phospholipid analogs on different cells in vitro
- AR Phospholipid analogs were studied with regard to their cytostatic activity on different tumor cell lines and on murine bone marrow cells. Compds. compared for their activity were alkylqlycero- and alkyl-phosphocholines with the corresponding serines and the alkylphosphocholines and -serines with the corresponding phosphono derivs. Moreover, compds. containing CDP instead of the phospho (or phosphono-) choline or serine moiety were studied. Rac-2-Chloro-2-deoxy-2-deoxy-1-0-hexadecylglycero-3phosphocholine (cpd. Id), hexadecylphosphocholine (cpd. Ia) as well as hexadecylphosphonocholine (cpd. Ib) inhibited growth of tumor cells in suspension and monolayer culture and their colony and cluster formation in agar culture but not that of bone marrow cells. The exchange of choline for serine in these compds. results in the loss of this type of antitumor specificity. However, dodecylphosphono-L-serine (cpd. IIc) is as specific as the choline derivs. Ia, b, d mentioned. Thus, for serine compds. the specificity for tumor cells might depend in a critical way on the length of the alkyl chain. The phosphone compds. Ib, IIb show almost the same activity as the corresponding compds. hexadecylphosphocholine (cpd. Ia) or hexadecylphosphoserine (cpd. IIa). The CDP-derivs. (IIIa, d, e, f) inhibited growth of tumor cells in suspension or monolayer cultures but not the colony and cluster formation in agar (i.e. they do not decrease the plating efficiency) from either tumor or bone marrow cells.
- AN 1993:440254 HCAPLUS <<LOGINID::20081121>>
- DN 119:40254
 - OREF 119:7119a,7122a
 - TI Cytostatic effects of various alkyl phospholipid analogs on different cells in vitro
- AU Langen, P.; Maurer, H. R.; Brachwitz, H.; Eckert, K.; Veit, A.; Vollgraf, C.
- CS Max-Delbruck Cent. Mol. Med., Berlin, D-1115, Germany
- SO Anticancer Research (1992), 12(6B), 2109-12
 - CODEN: ANTRD4; ISSN: 0250-7005
- DT Journal
- LA English
- IT 25527-53-1 136194-83-7 148471-84-5
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (antitumor activity of, as phospholipid analog, structure in relation to)
- RN 25527-53-1 HCAPLUS
- CN Cytidine 5'-(trihydrogen diphosphate),
 - P'-[2,3-bis[(1-oxooctadecyl)oxy]propyl] ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 136194-83-7 HCAPLUS

CN Cytidine 5'-(trihydrogen diphosphate), P'-[2-methoxy-3-(octadecyloxy)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{HO} \\ \text{OH} \\ \text{OOH} \\ \text{OOH}$$

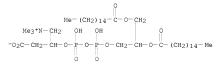
RN 148471-84-5 HCAPLUS

CN Cytidine 5'-(trihydrogen diphosphate), P'-[2,3-bis(hexadecyloxy)propyl] ester (9CI) (CA INDEX NAME)

- L27 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
- Preparation of 1,2-di-O-acvl glycero(di)phosphate of L-carnitine and its derivatives as drugs
- AB ROCH2CHOR1CH2[OP(O)(OH)]mOP(O)[O(H)n]OCH(CH2CO2R2)CH2N+Me3[I; R, R1 =(unsatd.) C2-22 acid radical; R2 = H, alkyl; m, n = 0, 1], were prepared for treatment of slow cerebral metabolism, cardiac disturbances, dyslipemia, and hyperlipoproteinemia (no data). Thus, Me3N+CH2CH(OPO3H2)CH2CO2H (preparation given) in MeOH was evaporated with Me4NOH. 1,2-Di-O-palmitov1-3-bromoglycerol and MeCN were added to the residue and the mixture was refluxed 5-6 h to give L-carnitine 1,2-di-O-palmitoyl glycerophosphate. I are said to be antiarrhythmics and have a pos. inotropic effect, to reduce serum triglyceride and cholesterol levels, and to increase activity in rats when injected intracerebroventricularly.
- AN 1990:441324 HCAPLUS <<LOGINID::20081121>>
- 113:41324 DN
- OREF 113:7047a,7050a
- Preparation of 1,2-di-O-acyl glycero(di)phosphate of L-carnitine and its derivatives as drugs
- IN Puricelli, Laura
- PA Magis Farmaceutici S.r.l., Italy
- SO Eur. Pat. Appl., 15 pp.
- CODEN: EPXXDW
- DT Patent.
- LA. English FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 348859			EP 1989-111591	19890626 <
	R: BE, DE, ES,	FR, GB,	GR, IT, L	J, NL	
PRAI	IT 1988-21187	A	19880701	<	
	IT 1988-21188	A	19880701	<	
OS	MARPAT 113.41324				

- 127985-34-6P
 - RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of, as drug)
- RN 127985-34-6 HCAPLUS
- CN 1-Propanaminium, 2-[[[[[2,3-bis[(1
 - oxohexadecv1)oxv]propoxy]hydroxyphosphinyl]oxy]hydroxyphosphinyl]oxy]-3carboxy-N,N,N-trimethyl-, inner salt (CA INDEX NAME)



- L27 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
- Preparation of acetylcarnitine acetylglycerophosphate salts as drugs

$$\text{Ql} = \begin{array}{c} \begin{array}{c} O \\ P \\ OH \end{array} \begin{array}{c} O \\ OH \end{array} \begin{array}{c} OH \\ OH \end{array} \begin{array}{c$$

AB XOCH2CH(OAC)CH2OY (I; R = C1-5 alkyl; X = Q1, H, Ac; Y = Q1; n = 0, 1; provided that when X = H, n = 0), were prepared for treatment of cardiac malfunction, hyperlipoproteinemia, dyslipemias, slow cerebral metabolism, and senile or presenile dementia (no data), were prepared Thus, 2-acetylglycerol (preparation given), (PhO)2 P(O)Cl, and pyridine were stirred 2 days at room temperature The product was hydrolyzed to give 2-acetylglycerol 1,3-diphosphate. The latter, in EtOH was treated with acetylcornitine followed by removal of solvent to give the salt.

AN 1990:406804 HCAPLUS <<LOGINID::20081121>>

DN 113:6804

OREF 113:1323a,1326a

TI Preparation of acetylcarnitine acetylglycerophosphate salts as drugs

IN Puricelli, Laura PA Magis Farmaceutici S.r.l., Italy

SO Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW DT Patent

LA English

FAN.CNT 1

E MIN.	PAN.CNI I							
	PAT	TENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	EP	340759	A1	19891108	EP 1989-108029	19890503 <		
		R: BE, DE, ES,	FR, GB	, GR, IT,	LU, NL			
PRAI	ΙT	1988-20482	A	19880506	<			
	ΙT	1988-20514	A	19880510	<			
	ΙT	1988-20579	A	19880513	<			
	ΙT	1988-20582	A	19880513	<			
	ΙT	1988-20583	A	19880513	<			
OS	MAE	RPAT 113:6804						

IT 127487-47-2P 127487-49-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as drug) N 127487-47-2 HCAPLUS

CN 1-Propanaminium, 2-(acetyloxy)-3-carboxy-N,N,N-trimethyl-, (R)-, 2-(acetyloxy)-1,3-propanediyl bis(diphosphate) (2:1) (9CI) (CA INDEX NAME)

CM 1

CM 2

CRN 89946-58-7

CMF C9 H18 N O4

Absolute stereochemistry. Rotation (-).

RN 127487-49-4 HCAPLUS

CN 1-Propanaminium, 2-(acetyloxy)-3-carboxy-N, N, N-trimethyl-, (R)-, 2,3-bis(acetyloxy)propyl (diphosphate) (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125971-14-4 CMF C7 H13 O11 P2

CM 2

CRN 89946-58-7 CMF C9 H18 N O4

Absolute stereochemistry. Rotation (-).

L27 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

Therapeutic activity of 1-β-D-arabinofuranosylcytosine conjugates of ΤI lipids in WEHI-3B leukemia in mice

GI

- AB Two new conjugates of ara-C and lipids were tested for therapeutic activity in myelomonocytic WEHI-3B leukemia in mice. Both conjugates were superior to equimolar mixts. Of their resp. parent compds. and to ara-C alone. I.p. treatment was found effective after either i.p. or i.v. transplantation of the leukemia. The thioether-linked lipid conjugate ara-CDP-D, L-PTBA (I) showed considerably higher efficacy than the ester-linked lipid conjugate ara-CDP-D-L-diplanlinin (II). The optimal therapeutic regimen of ara-CDP-D, L-PTBA consisted of 60 mg/kg given i.p. q.d. 1-5 after transplantation of the WEHI-3B leukemia.
- AN 1989:417233 HCAPLUS <<LOGINID::20081121>>
- DN 111:17233
- OREF 111:2903a,2906a
- TI Therapeutic activity of 1- β -D-arabinofuranosylcytosine conjugates of lipids in WEHI-3B leukemia in mice
- AU Berdel, Wolfgang E.; Okamoto, Shinichiro; Danhauser-Riedl, Susanne; Hong, Chung II; Winton, Elliott F.; West, Charles R.; Rastetter, Johann; Vogler, W. Raloh
- CS Sch. Med., Emory Univ., Atlanta, GA, 30322, USA
- SO Experimental Hematology (New York, NY, United States) (1989), 17(4), 364-7
 - CODEN: EXHMA6; ISSN: 0301-472X
- DT Journal LA English
- IT 71065-86-6
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (USES)
- (antitumor activity of, in myelomonocytic leukemia)
- RN 71065-86-6 HCAPLUS
- CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]-β-D-arabinofuranosyl]-, (R)- (901) (CA INDEX NAME)

PAGE 1-B

L27 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

ΤI Antineoplastic activity of conjugates of lipids and 1-β-D-arabinofuranosylcytosine

Five different lipid conjugates of 1-β-D-arabinofuranosylcytosine AB (ARA-C) were tested in comparison with ARA-C, the ether lipid ET-18-OCH3 (1-0-octadecy1-2-0-methy1-rac-glycero-3-phosphocholine) and their equimolar mixts. The compds. were tested in vitro for cytotoxicity in the trypan blue dye exclusion test with cells from 6 different leukemias, glioblastoma, and 2 bronchogenic carcinomas of human origin. The compds. were given in vivo to assess their therapeutic activity against 3-Lewis lung carcinoma (3-LL) of syngeneic mice. Although some of the conjugates showed cytotoxic activity in vitro against the cell samples tested, they did not reveal higher cytotoxicity than ET-18-OCH3, ARA-C, or their equimolar mixts. In these expts., ARA-CDP-DL-MBA was the conjugate with the highest cytotoxicity. Some of the conjugates inhibited tumor growth and also increased survival of mice with i.p. implanted 3-LL. In these expts., ARA-CDP-DL-PTBA, ARA-CDP-DL-PBA, ARA-CDP-L-dipalmitin and ARA-CDP-DL-PCA were more active than either of the parent compds. ARA-C and Et-18-OCH3, alone or in their equimolar mixts. Furthermore, when the conjugates were injected as adjuvant chemotherapy shortly after the surgical removal of the primary 3-LL, they inhibited the metastasis of 3-LL to the lungs of the animals, demonstrated by an increase of the survival time and the number of surviving animals.

1988:68425 HCAPLUS <<LOGINID::20081121>>

AN

DN 108:68425

OREF 108:11171a,11174a

- Antineoplastic activity of conjugates of lipids and 1-β-D-arabinofuranosylcytosine
- Berdel, Wolfgang E.; Danhauser, Susanne; Schick, Hans D.; Hong, Chung Il; AU West, Charles R.; Fromm, Michael; Fink, Ulrich; Reichert, Anneliese; Rastetter, Johann
- Dep. Med. I, Tech. Univ., Munich, 8000/80, Fed. Rep. Ger.
- Lipids (1987), 22(11), 943-6 SO

CODEN: LPDSAP; ISSN: 0024-4201

- DT Journal
- LA English

IT 71065-86-6 103383-66-0 103383-67-1

103383-68-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm inhibition by)

RN 71065-86-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecy])oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]-β-D-arabinofuranosyl]-, (R)- (9(1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- RN 103383-66-0 HCAPLUS
- CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[1,3-dihydroxy-1,3-dioxido-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

RN 103383-67-1 HCAPLUS

CN 2(1H)-Pyrimidinone 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphahexacos-1-yl]-β-D-arabinofuranosyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 103383-68-2 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-(1,3-dihydroxy-6-methoxy-1,3-dioxido-2,4,8-trioxa-1,3-diphosphahexacos-1-y1)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAB!)

Absolute stereochemistry.

L27 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Antitumor effects of $1-\beta-D$ -arabinofuranosylcytosine conjugates of 1,2-dipalmitins on L1210 leukemia in mice

AB Antitumor activities of 1-B-D-arabinofuranosylcytosine
5'-diphosphate-L-1,2-dipalmitin (ara-CDP-L-dipalmitin) (I) [71065-86-6]
and its stereoisomer ara-CDP-D-dipalmitin [92693-06-6] and
ara-CDP-DL-dipalmitin [63357-80-2] were compared in mice inoculated with
L1210 lymphoid leukemia. The order of antitumor activity was L > D > DL.
The difference between the L- and the DL-isomers was particularly apparent
on the advanced state of the diseases. In mice implanted with ara-C
[147-94-4]-resistant L1210 leukemia, the L-isomer gave a marked increase
of life span, but the D-isomer was ineffective. Thus, the best conjugates
of this type have a linkage with the naturally occurring phospholipid.

- AN 1985:605547 HCAPLUS <<LOGINID::20081121>>
- DN 103:205547
- OREF 103:32977a,32980a
- TI Antitumor effects of $1-\beta-D$ -arabinofuranosylcytosine conjugates of
- 1,2-dipalmitins on L1210 leukemia in mice AU Hong, Chung I.; An, S. H.; Nechaev, A.; Buchheit, D. J.; West, C. R.;
- MacCoss, Malcolm CS Dep. Neurosurg., Roswell Park Mem. Inst., Buffalo, NY, 14263, USA
- SO Proc. Int. Congr. Chemother., 13th (1983), Volume 16, 257/19-257/22. Editor(s): Spitzy, K. H.; Karrer, K. Publisher: Verlag H. Egermann, Vienna, Austria. CODEN: 53XPA8
- DT Conference
- LA English
- IT 63357-80-2 71065-86-6 92693-06-6
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (neoplasm inhibition by, structure in relation to)
- RN 63357-80-2 HCAPLUS
- CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 71065-86-6 HCAPLUS

Absolute stereochemistry.

PAGE 1-B

RN 92693-06-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecy]loxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]-β-D-arabinofuranosyl-1, (5)-(9(1)) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L27 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI $1-\beta-D-A$ rabinofuranosylcytosine-phospholipid conjugates as prodrugs of Ara-C

The L- [71065-86-6], D- [92693-06-6], and D,L-isomers of 1-B-D-arabinofuranosylcytosine 5'-diphosphate-1,2-dipalmitin (I) [63357-80-2], new prodrugs of ara-C, have been evaluated for antitumor activity in L1210 lymphoid leukemic mice. The L-isomer produced significant increase in life span (ILS), and longterm survivors among mice bearing i.p. and i.c. implanted L1210 leukemia and the maximal ILS values

Ι

found were >543 and >374% with five and four 45-day survivors out of six mice, resp., at the optimal single doses of 300 mg/kg and 125 mg/kg. The D- and D,L-isomers also displayed significant in vivo antitumor activity against both i.p. and i.c. implanted L1210 leukemia in mice with ILS range of 144-293% at a total dose of 125-250 mg/kg. Significant schedule dependency was not observed when the conjugates were administered i.p. once daily for 5 days, once every 4 days, or as a single dose, but single dose typically produced the best effects. The L-isomer was found to be a more effective prodrug of ara-C than its isomers and other lipophilic prodrugs, 5'-O-palmitoylara-C and N4-acyl-ara-C. Unlike the latter prodrugs, the new conjugates are water soluble by the sonication method.

AN 1984:583575 HCAPLUS <<LOGINID::20081121>>

DN 101:183575

OREF 101:27609a,27612a

TI $1-\beta-D-Arabinofuranosylcytosine-phospholipid conjugates as prodrugs of Ara-C$

AU Hong, Chung I.; An, Seung Ho; Buchheit, David J.; Nechaev, Alexander; Kirisits, Alan J.; West, Charles R.; Ryu, Eung K.; MacCoss, Malcolm

CS Dep. Neurosurg., Roswell Park Mem. Inst., Buffalo, NY, 14263, USA SO Cancer Drug Delivery (1984), 1(3), 181-90

Cancer Drug Delivery (1984), 1(3), 181-90 CODEN: CDDED7; ISSN: 0732-9482

DT Journal

LA English

IT 63357-80-2 71065-86-6 92693-06-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm-inhibiting activity of)

RN 63357-80-2 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]-β-D-arabinofuranosyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

- RN 71065-86-6 HCAPLUS
- CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1, 3-dihydroxy-1, 3-dioxido-9-oxo-6-[(1-oxohexadecy])oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]-β-D-arabinofuranosyl]-, (R)- (901) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 92693-06-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecy]loxy]-2,4,6-trioxa-1,3-diphosphatetracos-1-yl]-β-D-arabinofuranosyl]-, (5)- (901) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

- L27 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Synthesis and biological activity of novel nucleoside-phospholipid prodrugs

GT

AB 1-β-D-arabinofuranosylcytosine-5'-diphosphate-L-1,2-dipalmitin (I) [71065-86-6], tubericidin diphosphate-L-dipalmitin [83145-69-3], and a mixture of I and 1-β-D-arabinofuranosylcytosine-5'-monophosphate-L-1,2-dipalmitin [85145-70-6] synthesized by previous methods, inhibited growth of mouse myeloma MPC-11 and L1210 [Jumpholeukemic cells to a greater extent than did ara-C or tubericidin. I also markedly increased survival of mice inoculated with L1210 cells when administered 21 h before and especially when administered on the day of tumor inoculation. The in vivo effect was much greater than that of ara-C.

т

- AN 1983:132201 HCAPLUS <<LOGINID::20081121>>
- DN 98:132201
- OREF 98:20041a,20044a
- TI Synthesis and biological activity of novel nucleoside-phospholipid prodrugs
- AU MacCoss, M.; Ryu, E. K.; Hong, Chung I.; Matsishita, T.
 CS Div. Biol. Med. Res., Argonne Natl. Lab., Argonne, TL, 60439, 1
- CS Div. Biol. Med. Res., Argonne Natl. Lab., Argonne, IL, 60439, USA SO Proc. Int. Round Table Nucleosides, Nucleotides Their Biol. Appl., 4th (
- Proc. Int. Kound lable Nucleosides, Nucleotides Inei Biol. Appl., 4th (1982), Meeting Date 1981, 255-63. Editor(s): Alderweireldt, Frank C.; Esmans, Eddy L. Publisher: Univ. Antwerp, Antwerp, Belg. COOEN: 49EBA4
- DT Conference
- LA English
- IT 71065-86-6P 85145-69-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and neoplasm-inhibiting activity of)

- RN 71065-86-6 HCAPLUS

arabinofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 85145-69-3 HCAPLUS CN 7H-Pyrrolo[2,3-d]py:

7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
7-[5-O-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecy1)oxy]-2,4,8trioxa-1,3-diphosphatetracos-1-yl]-β-D-ribofuranosyl]-, (R)- (9CI)
(CA INDEX NAME)

Me - (CH2) 14

AB

L27 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

ΤТ Phospholipid-nucleoside conjugates. 3. Syntheses and preliminary biological evaluation of 1-B-D-arabinofuranosylcytosine 5'-monophosphate-L-1,2-dipalmitin and selected 1-β-D-arabinofuranosylcytosine 5'-diphosphate-L-1,2-diacylglycerols

Several new phospholipid-ara-C (ara-C =

1-β-D-arabinofuranosylcytosine) conjugates have been prepared and tested as prodrugs of the parent ara-C. The new derivs, include ara-CMP-L-dipalmitin, ara-CDP-L-distearin, ara-CDP-L-dimyristin, ara-CDP-L-diolein, and ara-CDP-L-di[1-14C]palmitin. The new prodrugs were solubilized by sonication methods and tested for their antiproliferative activity in vitro against mouse myeloma MPC-11 cells and against L1210 lymphoid leukemia. The antiproliferative activities of the prodrugs (as determined by ED50) were less than ara-C on a molar basis. In the mouse myeloma cell line some evidence was obtained that the antiproliferative activity was related to the chain length of the fatty acid side chains in the prodrugs. In in vivo studies against L1210 lymphoid leukemia in mice, the prodrugs were much more effective than ara-C with the overall efficacy apparently being independent of the length of the fatty acid side chain. ara-CDP-L-dimyristin, which bears the shortest fatty acid side chain, was more toxic at the higher dosages than the longer chain length derivs.

1982:563397 HCAPLUS <<LOGINID::20081121>> AN

97:163397 DN

OREF 97:27269a

- TΙ Phospholipid-nucleoside conjugates. 3. Syntheses and preliminary biological evaluation of 1-β-D-arabinofuranosylcytosine 5'-monophosphate-L-1,2-dipalmitin and selected
- 1-β-D-arabinofuranosylcytosine 5'-diphosphate-L-1,2-diacylqlycerols ΑU Rvu, Eung K.; Ross, Robert J.; Matsushita, Tatsuo; MacCoss, Malcolm; Hong, Chung I.; West, Charles R.
- CS Div. Biol. Med. Res., Argonne Natl. Lab., Argonne, IL, 60439, USA
- SO Journal of Medicinal Chemistry (1982), 25(11), 1322-9 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- T.A English
- тт 83200-41-3P 83214-11-3P 83214-12-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and pharmacol, activity of)

RN 83200-41-3 HCAPLUS

2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-CN oxotetradecyl)oxy]-2,4,8-trioxa-1,3-diphosphadocos-1-yl]-β-Darabinofuranosyl]-, disodium salt, (R)- (9CI) (CA INDEX NAME)

●2 Na

PAGE 1-B

PAGE 1-A

$$-$$
 (CH₂)₁₂ Me

RN 83214-11-3 HCAPLUS CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxo-tadecyl)oxy]-2,4,8-trioxa-1,3-diphosphahexacos-1-yl]- β -D-arabinofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

- RN 83214-12-4 HCAPLUS
- CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxo-9-oxtadecencyl)oxy]-2,4,8-trioxa-1,3-diphosphahexacos-17-en-1-y1]B-D-arabinofuranosyl]-, [R-(Z,Z)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-B

PAGE 1-A

- L27 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Cytotoxic liponucleotide analogs
- GI

HoN

AB Nucleotides of nucleosides or bases having cytotoxic activity are reacted to form corresponding cytotoxic liponucleotides I (R1 and R2 = saturated or unsatd. alkyl; X1 and X2 = 0, CH2, O2C, or NHCO; X3, X4, and X5 = 0 or CH2; X6 = heterocyclic nucleoside base; sugar = ribose, deoxyribose, lyxose, etc.) by phosphorylation of phosphatidic acids. The resulting analogs have an enhanced therapeutic index and broader spectrum of antitumor activity with respect to the parent compound Thus, various I were synthesized and tested for cytotoxic activities. I may be useful cytotoxic, antiviral, and antineoplastic agents due to their apparent selective uptake by tumor cells.

AN 1982:15214 HCAPLUS <<LOGINID::20081121>>

DN 96:15214

OREF 96:2519a,2522a

Cytotoxic liponucleotide analogs

IN Turcotte, Joseph G.

PA

U.S., 13 pp. Cont. of U.S. Ser. No. 895,231, abandoned. SO

CODEN: USXXAM DT Patent

LA English

EAN ONT

			P	Α	T	E	N	

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	US 4291024	A	19810922	US 1980-113403	19800118 <		
PRAI	US 1978-895231	A1	19780410	<			
O.C	MADDAT 06.1521/						

тт

75409-95-9P 75409-96-0P 75409-97-1P

76726-38-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and neoplasm-inhibiting activity of)

RN 75409-95-9 HCAPLUS

CN 2(1H)-Pvrimidinone, 4-amino-1-[5-0-[1,3-dihvdroxy-1,3-dioxido-9-oxo-6-[(1oxohexadecvl)oxvl-2,4,8-trioxa-1,3-diphosphatetracos-1-vll-6-Darabinofuranosvll-, diammonium salt (9CI) (CA INDEX NAME)

●2 NH3

PAGE 1-B

RN 75409-96-0 HCAPLUS CN Cytidine 5'-(trihyd:

Cytidine 5'-(trihydrogen diphosphate), P'-[2,3-bis[(1-oxohexadecyl)oxy]propyl] ester, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

●2 NH3

- RN 75409-97-1 HCAPLUS
- CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[1,3-dihydroxy-1,3-dioxido-6-(9,12-octadecadienyloxy)-2,4,8-trioxa-1,3-diphosphahexacos-1-y1]-B-D-arabinofuranosyl]-, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

●2 NH3

PAGE 1-B

- RN 76726-38-0 HCAPLUS
- CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[6-(hexadecyloxy)-1,3-dihydroxy-1,3-dioxido-2,4,8-trioxa-1,3-diphosphatetracos-1-y1]-p-D-arabinofuranosyl]-, diammonium salt (9C1) (CA INDEX NAME)

● 2 NH3

L27 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Cytotoxic liponucleotide analogs. II. Antitumor activity of CDP-diacylglycerol analogs containing the cytosine arabinoside moiety AB Several cytoxic liponucleotide analogs of cytidine diphosphate

Several cytotoxic liponucleotide analogs of cytidine diphosphate diacylglycerol containing the 1-B-D-arabinofuranosyl moiety, were tested for antitumor activity. Multispecies ara-CDPdiacylglycerol (1-β-D-arabinofuranosylcytosine 5'-diphosphate diacylglycerol) which contains egg lecithin-derived mixed fatty acyl chains, was more active than $1-\beta-D$ -arabinofuranosylcytosine (ara-C), a clin. used anticancer drug, against leukemia L5178Y and P388 ascites cells in mice. At identical single doses (50 mg/kg per day times 4) administered i.p., ara-CDPdiacylglycerol prolonged the life spans of L5178Y tumor-bearing mice 93%, whereas ara-C prolonged life by 18%. Ara-CDPdiacylglycerol increased life spans of P388 tumor-bearing mice by 357% at doses of 50 mg/kg per day times 4; the maximum increase with ara-C was 159% (85 mg/kg per day times 4). Against a P388 ara-C-resistant cell line (P/Ara-C, kinase deficient) in mice, ara-CDPdiacylglycerol prolonged survival times by 34% at a dose of 50 mg/kg per day times 4 and by 55% at 75 mg/kg per day times 4; the drug was not active against 2 other ara-C-resistant murine leukemia mutants (CA 55, CA5b). With cell line-derived human colon carcinoma HCT-15 grown in mice immunosuppressed with anti-thymocyte serum, ara-CDPdiacylglycerol at a single daily dose of 50 mg/kg per day times 4 significantly reduced tumor wts. to 21% of the controls; the same dose schedule of ara-C caused no observable redns. of tumor wts. Cvtotoxic liponucleotide analogs should be investigated further to determine their potential as antineoplastic mols.

AN 1980:597740 HCAPLUS <<LOGINID::20081121>>

DN 93:197740

OREF 93:31379a,31382a

TI Cytotoxic liponucleotide analogs. II. Antitumor activity of CDP-diacylglycerol analogs containing the cytosine arabinoside moiety

AU Turcotte, J. G.; Srivastava, S. P.; Steim, J. M.; Calabresi, P.; Tibbetts, L. M.; Chu, M. Y.

CS Coll. Pharm., Univ. Rhode Island, Kingston, RI, 02881, USA

SO Biochimica et Biophysica Acta, Lipids and Lipid Metabolism (1980), 619(3), 619-31

CODEN: BBLLA6; ISSN: 0005-2760

DT Journal LA English

IT 75409-95-9 75409-96-0 75409-97-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm inhibition by)

RN 75409-95-9 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecy1)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]-B-D-arabinofuranosyl]-, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

2 NH3

PAGE 1-B

RN 75409-96-0 HCAPLUS

CN Cytidine 5'-(trihydrogen diphosphate),
P'-[2,3-bis[(1-oxohexadecyl)oxy]propyl] ester, diammonium salt (9CI) (CA
INDEX NAME)

●2 NH3

PAGE 1-B

RN 75409-97-1 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-6-(9,12-octadecadienyloxy)-2,4,8-trioxa-1,3-diphosphahexacos-1-yl]-β-D-arabinofuranosyl]-, diamonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

●2 NH3

L27 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI The synthesis, characterization, and preliminary biological evaluation of 1-β-D-arabinofuranosylcytosine-5'-diphosphate-L-1,2-dipalmitin

G]

AB This paper describes the synthesis of a single diastereomer by conversion of ara-CMP [7075-11-8] to the nucleoside 5'-phosphomorpholidate [69467-87-4], followed by reaction with L-a-dipalmitoylphosphatidic acid pyridinium salt [69467-86-3] to give 1-B-D-arabinofuranosylcytosine-5'-diphosphate-L-1,2-dipalmitin di-Na salt (I) [69483-93-8] in good yields. The separation of the product is described and its characterization by chromatog, elemental anal., and spectroscopic methods. The lipophilic nature of I renders it insol. in aqueous media and a method of sample preparation utilizing sonication techniques is

described which provides a clear solution suitable for biol. evaluation. In addition, the ability of I to inhibit the in vitro growth of L1210 cells and of mouse myeloma MPc Il cells is described and compared with ara C [147-94-4] and its lipophilic prodrugs.

- AN 1979:145575 HCAPLUS <<LOGINID::20081121>>
- DN 90:145575
- OREF 90:23005a,23008a
- TI The synthesis, characterization, and preliminary biological evaluation of $1-\beta-D$ -arabinofuranosylcytosine-5'-diphosphate-L-1,2-dipalmitin
- AU MacCoss, Malcolm; Ryu, Eung K.; Matsushita, Tatsuo
- CS Div. Biol. Med. Res., Argonne Natl. Lab., Argonne, IL, USA
- SO Biochemical and Biophysical Research Communications (1978), 85(2), 714-23
- CODEN: BBRCA9; ISSN: 0006-291X
- DT Journal

- LA English
- 3152-52-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

- (antineoplastic activity of)
- RN 3152-52-1 HCAPLUS
- Cytidine 5'-(trihydrogen diphosphate),
 - P'-[2,3-bis[(1-oxohexadecyl)oxy]propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- 69483-93-8P
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and antineoplastic activity of)
- RN 69483-93-8 HCAPLUS
- CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]-β-Darabinofuranosyl]-, disodium salt, (R)- (9CI) (CA INDEX NAME)

●2 Na

PAGE 1-B

L27 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI A phospholipid derivative of cytosine arabinoside and its conversion to phosphatidylinositol by animal tissue

AB Ara-CDP-DL-dipalmitin (I) [63357-80-2], an analog of cytidine diphosphate diglyceride, was synthesized. Enzymes in rat and human liver converted I to phosphatidylinositol, thereby releasing ara CMP [9068-49-9] an obligatory intermediate in the activation of ara C. Unlike cytidine diphosphate diglyceride, I was not an efficient substrate for phosphatidylglycerophosphate synthesis in liver or phosphatidylserine in Escherichia coli. The antitumor activity of ara-CDP-DL-dipalmitin in mice bearing L51787 leukemia is described.

AN 1977:495654 HCAPLUS <<LOGINID::20081121>>

DN 87:95654

OREF 87:15105a,15108a

- TI A phospholipid derivative of cytosine arabinoside and its conversion to phosphatidylinositol by animal tissue
- AU Raetz, Christian R. H.; Chu, Ming Y.; Srivastava, Surya P.; Turcotte, Joseph G.
- CS Coll. Agric. Life Sci., Univ. Wisconsin, Madison, WI, USA
- SO Science (Washington, DC, United States) (1977), 196(4287), 303-5 CODEN: SCIEAS; ISSN: 0036-8075
- DT Journal
- LA English
- IT 63357-80-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(as neoplasm inhibitor, conversion to ara CMP and phosphatidylinositols in relation to)

- RN 63357-80-2 HCAPLUS
- CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecy1)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]-β-D-arabinofuranosyll- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

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exact bonds : 
1-2 1-3 1-19 2-6 3-4
```

G1:P,[*1],[*2]

Connectivity:

10:1 X maximum RC ring/chain 11:1 X maximum RC ring/chain

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS

L28 STRUCTURE UPLOADED

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100.0% PROCESSED 1609 ITERATIONS SEARCH TIME: 00.00.01 115 ANSWERS

L29 115 SEA SUB=L15 SSS FUL L28

=> d 129 scan

L29 115 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Adenosine, 5',5'''-[0,0'-[2-[(hydroxymercaptophosphiny1)oxy]-1,3-

propanediyl] bis(hydrogen phosphorothioate)] (9CI)

MF C23 H33 N10 O15 P3 S3

Absolute stereochemistry.

PAGE 1-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L29 115 ANSMERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Phosphoric acid, mono(2-aminoethyl)
 mono(2-[(hexadecylmethoxyphosphinothioyl)oxy]-3-(hexadecyloxy)propyl]
 ester, [R-(R*,R*)]- (9CI)
MF C38 H81 N O7 P2 S

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L29 115 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN IN Octadecanoic acid, 2,3-bis(phosphonooxy)propyl ester MF C21 H44 010 P2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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=> s 129

L30 256 L29

=> s 117 and 130

L31 0 L17 AND L30

=> s hypercholesterolem? or hyperlipidem? or dyslipidem? or cholesterol

18861 HYPERCHOLESTEROLEM?

16996 HYPERLIPIDEM?

8454 DYSLIPIDEM?

192334 CHOLESTEROL

L32 209639 HYPERCHOLESTEROLEM? OR HYPERLIPIDEM? OR DYSLIPIDEM? OR CHOLESTER OL

=> s 130 and 132

L33 4 L30 AND L32

=> d 133 1-4 ti abs bib hitstr

L33 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Hydration in drug design. 2. Influence of local site surface shape on water binding

AB If water mols. are strongly bound at a protein-ligand interface, they are

unlikely to be displaced during ligand binding. Such water mols. can change the shape of the ligand binding site and thus affect strategies for drug design. To understand the nature of water binding, and factors influencing it, water mols. at the ligand binding sites of 26 high-resolution protein-ligand complexes have been examined here. Water mols. bound in deep grooves and cavities between the protein and the ligand are located in the indentations on the protein-site surface, but not in the indentations on the ligand surface. The majority of the water mols bound in deep indentations on the protein-site surface make multiple polar contacts with the protein surface. This may indicate a strong binding of water mols. in deep indentations on protein-site surfaces. The local shape of the site surface may influence the binding of water mols. that mediate protein-ligand interactions.

AN 1996:51312 HCAPLUS <<LOGINID::20081121>>

DN 124:164308

OREF 124:30139a,30142a

TI Hydration in drug design. 2. Influence of local site surface shape on water binding

AU Poornima, C. S.; Dean, P. M.

S Dep. Pharmacology, Univ. Cambridge, Cambridge, CB2 1QJ, UK

SO Journal of Computer-Aided Molecular Design (1995), 9(6), 513-20 CODEN: JCADEO: ISSN: 0920-654X

PB ESCOM

- DT Journal
- LA English
- IT 120411-63-4

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(hydration in drug design - influence of local site surface shape on water binding)

RN 120411-63-4 HCAPLUS

CN Phosphoric acid, mono(2-aminoethyl)

mono[(2R)-2-[(heptylhydroxyphosphinyl)oxy]-3-(octyloxy)propyl] ester (CA INDEX NAME)

Absolute stereochemistry.

- L33 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Preparation of sterol esters and sterol phosphorus compounds as neoplasm inhibitors
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds., e.g., [I, II, III; R1 = C1-10 alkyl, C2-10 alkenyl; R2 = R5 (CH:CHCHMe:CH)nCO2, R5 (CH:CHCHMe:CH)n(CH:CHCMe)nCH:CHCO2,

R602CCH2CH(CO2R6)CH2OP(O)(XNa)O-, OP(O)(XNa)OR6, n = 1-5, R5 = Q1-Q4, etc., R6 = C1-32 alky1, C2-32 alkeny1, etc.; X = 0, S1, were prepared Thus, all-trans-retinoic acid in PhMe containing cat. DMF was stirred 4 h with (COC1)2; stigmasterol and 4-(dimethylamino)pyridine in PhMe were added and the mixture was refluxed 2 h to give stigmasterol all-trans-retinoate. Title compds. were active against murine adenocarcinoma at dilns. of (1:400,000)-(1:40,000,000). Generic formulations containing title compds. were prepared

AN 1993:102310 HCAPLUS <<LOGINID::20081121>>

DN 118:102310

OREF 118:17940h,17941a

- TI Preparation of sterol esters and sterol phosphorus compounds as neoplasm inhibitors
- IN Eugster, Carl; Eugster, Conrad Hans; Haldemann, Walter; Rivara, Giorgio PA Marigen S.A., Switz.

SO PCT Int. Appl., 93 pp.

CODEN: PIXXD2

- DT Patent
- LA German
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	WO 9212989	A1	19920806	WO 1991-CH221	19911025		
	W: JP, SU,	US					
	RW: AT, BE,	CH, DE, DI	K, ES, FR,	GB, GR, IT, LU, NL, SE			
	CH 681153	A5	19930129	CH 1991-257	19910128		
	EP 548261	A1	19930630	EP 1991-917941	19911025		
	EP 548261	B1	19950510				
	R: DE, FR	GB, IT					
	JP 05505401	T	19930812	JP 1991-516345	19911025		
	JP 2955018	B2	19991004				
	RU 2113219	C1	19980620	RU 1991-5053147	19911025		
	US 5496813	A	19960305	US 1992-3997	19920813		
PRAI	CH 1991-257	A	19910128				
	WO 1991-CH221	W	19911025				

- OS CASREACT 118:102310; MARPAT 118:102310
- IT 144338-38-5P 144338-39-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as neoplasm inhibitor)

RN 144338-38-5 HCAPLUS

CN Ergosta-5,7,22-trien-3-ol, 4-hydroxy-8,8-dimethyl-2-[(octadecyloxy)methyl)-4-oxido-3,5-dioxa-8-azonia-4-phosphanon-1-yl hydrogen phosphate, inner salt, (3B,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-B

Pr-i

RN 144338-39-6 HCAPLUS

CN Ergosta-5,7,22-trien-3-ol, 0-[2-[(hydroxymercaptophosphinyl)oxy]-3-(octadecyloxy)propyl] hydrogen phosphorothioate, (3β,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

Pr-i

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L33 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
```

- TI Phospholipides and related substances as growth substrates for soil microorganisms
- AB Actinomycetes isolated from soil were found to grow on media containing (as main C source) the following materials: lecithin; cephalin; inositol lipide; sphingomyelin; sphingolipide; proteolipide; beef spinal cord lipides; phrenosin; purified cerebroside; cholesterol; paraffin; stearate; palmitate; olive oil; bayberry wax; glycerophosphate; choline; acetylcholine; ethylamine, or ethanolamine. Only phrenosin, cholesterol, choline, and ethylamine containing media failed to support the growth of at least 52% of the organisms tested.
- AN 1956:92015 HCAPLUS <<LOGINID::20081121>>
- DN 50:92015
- OREF 50:17274h-i
- TI Phospholipides and related substances as growth substrates for soil microorganisms
- AU Schatz, Albert; Adelson, Lionel M.; Trelawny, Gilbert S.
- CS Natl. Agr. Coll., Doylestown, PA
- SO Applied Microbiology (1956), 4, 223-8 CODEN: APMBAY; ISSN: 0003-6919
- DT Journal
- LA Unavailable
- IT 152014-30-7, 1,2,3-Propanetriol, tris(dihydrogen phosphate)
- (metabolism of, by soil micro organisms) RN 152014-30-7 HCAPLUS
- CN 1,2,3-Propanetriol, tris(dihydrogen phosphate) (9CI) (CA INDEX NAME)

OP03H2

 ${\tt H}_2{\tt O}_3{\tt P}{\tt O}-{\tt C}{\tt H}_2-{\tt C}{\tt H}-{\tt C}{\tt H}_2-{\tt O}{\tt P}{\tt O}_3{\tt H}_2$

- L33 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
- II Lipides of fish. VI. The lipides of cod flesh
- AB cf. C.A. 48, 13107g. Cod flesh was extracted successively with a series of solvents and the various exts. were purified, fractionated, and analyzed by the procedures used previously for haddock. Cod flesh contains the same amount of total lipides as haddock flesh (about 0.6%) and the lipide mixture is very similar in the 2 species: lecithin 35, waxes and alcs. 13, free cholesterol 8, phosphatidyl ethanolamine 7, free fatty acids 6, cholesterol esters 5, triglycerides 3, inositol lipides 2, and unidentified lipides 21%. The unidentified lipides of cod flesh resemble those from haddock in containing at least 2 types of phospholipide. One type is apparently based on phosphoryl glycerol but not on normal glycerophosphoric acid, and probably has a fatty acid:P ratio of about 4:1, but its P-glycerol relationship has not yet been studied. These phospholipides probably contain N, but the bases in question have

not been identified. The inositol lipides of both species include more than 1 type of compound and in the cod such compds. are present in considerably different proportions than those found in haddock flesh exts. Hydrocarbons found in both cod- and haddock-lipide exts, are probably contaminants derived from rubber. Complex acidic lipides occur in the cod exts. as in those from haddock.

AN 1956:25274 HCAPLUS <<LOGINID::20081121>>

DN 50:25274

OREF 50:5175d-a

TI Lipides of fish, VI. The lipides of cod flesh

AU Garcia, M. Dolores; Lovern, J. A.; Ollev, June CS Torry Research Sta., Aberdeen, UK

SO Biochemical Journal (1956), 62, 99-107

CODEN: BIJOAK; ISSN: 0264-6021 DT Journal

T.A

Unavailable

ΙT 152014-30-7, 1,2,3-Propanetriol, tris(dihydrogen phosphate) (from cod)

RN 152014-30-7 HCAPLUS

CN 1,2,3-Propanetriol, tris(dihydrogen phosphate) (9CI) (CA INDEX NAME)

OPO3H2

H2O3PO-CH2-CH-CH2-OPO3H2

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STRUCTURE FILE UPDATES: 20 NOV 2008 HIGHEST RN 1073589-44-2 DICTIONARY FILE UPDATES: 20 NOV 2008 HIGHEST RN 1073589-44-2

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http://www.cas.org/support/stngen/stndoc/properties.html

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" 1 (7)

chain bonds:
1-2 1-3 1-5 1-19 2-6 3-4 4-7 5-16 6-17 7-20 8-9 8-10
exact/norm bonds:
1-5 4-7 5-16 6-17 7-20 8-9 8-10
exact bonds:

1-2 1-3 1-19 2-6 3-4

G1:P,[*1],[*2]

Connectivity:

10:1 X maximum RC ring/chain 11:1 X maximum RC ring/chain

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS

L34 STRUCTURE UPLOADED

=> s 134

=> S 134 SAMPLE SEARCH INITIATED 17:31:58 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 383 TO ITERATE

100.0% PROCESSED 383 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: PROJECTED ANSWERS: 6486 TO 8834 5 TO 234

L35 5 SEA SSS SAM L34

=> s 134 sub=115

ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full FULL SUBSET SEARCH INITIATED 17:32:08 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 1609 TO ITERATE

100.0% PROCESSED 1609 ITERATIONS

95 ANSWERS

-30.40

SEARCH TIME: 00.00.01

L36 95 SEA SUB=L15 SSS FUL L34

=> file hcaplus

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ENTRY SESSION
FULL ESTIMATED COST 44150 910.92

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FILE COVERS 1907 - 21 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 20 Nov 2008 (20081120/ED)

 ${\tt HCAplus}$ now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 136 L37 238 L36 => s (117 or 130) and 137 L38 209 (L17 OR L30) AND L37 => s 136/thu 238 L36 1070979 THU/RL L39 8 L36/THU (L36 (L) THU/RL)

=> s (117 or 130) and 139 L40 5 (L17 OR L30) AND L39

=> d 140 1-5 ti abs bib hitstr

L40 AMSWER 1 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN In vitro and in vivo antileukemic effect of novel dimers consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine

Various amphiphilic heterodinucleoside phosphates containing 1-β-D-arabinofuranosylcytosine (ara-C) and 5-fluorodeoxyuridine (5-FdUrd) have recently been synthesized in order to increase the efficacy of ara-C and 5-FdUrd. Employing growth inhibition and growth recovery assays, we evaluated the in vitro effects of four of these dimers (Number 2, 2A, 3, 10) in L1210 and P388D1 murine leukemia cells. Although ara-C and 5-FdUrd appeared equimolar in all dimers, their contribution to the cytotoxicity of these agents was different. Thus, the liberation of ara-C and 5-FdUrd from their dimeric origin and their subsequent metabolic activation had a different course. In another set of expts., we examined the in vivo effects of these agents in mice. The dimer with the highest cytotoxicity in vitro exerted the lowest acute toxicity and yielded the lowest therapeutic effect in vivo. The obtained data indicate that dimers with slower liberation of ara-C and 5-FdUrd were less cytotoxic, but prolonged liberation of both antimetabolites protected them from inactivation and extended the time period of therapeutic action. Some of the dimers exceeded the synergistic effects yielded by simultaneous application of both ara-C and 5-FdUrd. The significantly higher therapeutic potential of these new antitumor agents indicates that further studies are warranted.

AN 2007:599574 HCAPLUS <<LOGINID::20081121>>

- DN 147:203336
- TI In vitro and in vivo antileukemic effect of novel dimers consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine
- AU Rauko, P.; Novotny, L.; Mego, M.; Saiko, P.; Schott, H.; Szekeres, T.
- CS Cancer Research Institute, Slovak Academy of Sciences, Bratislava, SK-833 91, Slovakia
- SO Neoplasma (2007), 54(1), 68-74 CODEN: NEOLA4; ISSN: 0028-2685
- PB AEPress, s.r.o.
- DT Journal
- LA English
- IT 830327-11-2
 - RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (5-fluoro-2'-deoxyuridylyl-(5'-2)-1-0-octadecyl-rac-glycerylyl-

(3-5)-arabinocytidine inhibited leukemia cell growth and showed anti-leukemic activity in mouse with leukemia)

- RN 830327-11-2 HCAPLUS
- CN Uridine, B-D-arabino-cytidylyloxy[2-[(octadecyloxy)methyl]-1,2ethanediyl]oxyphosphinico-(5'-5')-2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- IT 269743-30-8
 - RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(arabinocytidylyl-(5'-1)-2-0-octadecyl-rac-glycerylyl-(3-5)-5-fluoro-2'-deoxyuridine inhibited leukemia cell growth and showed anti-leukemic activity in mouse with leukemia)

- RN 269743-30-8 HCAPLUS
- CN Uridine, β-D-arabino-cytidylyloxy[2-(octadecyloxy)-1,3-

propanediyl]oxyphosphinico- $(5'\rightarrow5')$ -2'-deoxy-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSMER 2 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
TPeparation of nucleoside-lipid conjugates as antiviral and antitumor agents
GI

AB The invention provides methods for synthesizing nucleoside-lipid

Ι

conjugates I, wherein Y1 and Y2 are the same or different and are -O-C(O)-, -O-, -S-, -NH-C(O)- or the like; R1 and R2 are independently H, saturated alkyl group and unsatd. alkyl group; X is H, alkyl group and a cation; R3 is a nucleoside selected from a group consisting of cytosine, guanine, adenine, thymine, uracil, inosine, xanthine and hypoxanthine; R4 and R5 are independently hydrogen, hydroxy, halo group, nitro, alkyl group, substituted alkyl and alkoxy group; R6 is hydrogen, hydroxy group, azido group, amino group, alkyl group, halo group and substituted amino; five membered cyclic sugar is selected from a group consisting of ribofuranose, arabinofuranose, deoxyribofuranose and xylofuranose having varying fatty acid and alkyl chain lengths with or without unsatn. and their use in the treatment of cancer and viral diseases. More particularly, the invention provides methods for preparing gemcitabine-cardiolipin conjugates, and analogs thereof, cytarabine-cardiolipin conjugates, and analogs thereof. Addnl., the methods of the invention comprise administering a compound of invention as prodrug or a pharmaceutical preparation to combat mammalian diseases, preferably cancer, viral infections and bone disorders. The cancer is selected from a group consisting of cancers of the head, neck, brain. blood, breast, lung, pancreas, bone, spleen, bladder, prostate, testes, colon, kidney ovary, and skin. The viral disease is selected from a group consisting of HIV, Herpes simplex viruses, human Herpes virus 6, human Herpes virus 7, human Herpes virus 8, Ebola virus, Influenza virus, Tuberculosis, Hepatitis A, Hepatitis B, Hepatitis C, Hepatitis D, Hepatitis E, Parainfluenza virus, Respiratory syncytial virus, Cholera, pneumonia, SARS virus, West Nile virus, Respiratory syncytial virus, Dengue virus, Corona viruses, Vaccinia virus, Cytomegalovirus, human Rhinovirus, Papilloma virus, and Human Herpesvirus 4. The bone disorder is selected from a group consisting of osteoporosis, Paget's disease, metastatic bone cancers, hyperparathyroidism, rheumatoid arthritis, Gaucher's disease. Thus, 5'-O-succiny1[2-O-1,3-bis(1,2-O-dimyristoyl-snglycero)-3-phosphorylglycerol dimethylester] gemcitabine was prepared and tested in-vitro and in mice as antiviral and antitumor agent. The toxicity of gemcitabine-cardiolipin conjugate at 18 µmol/kg after 6 daily treatments and the body weight loss on day 7 was significantly less compared to gemcitabine. When mice were treated with gemcitabine-cardiolipin conjugate at 18 µmol/kg for 5 days, the maximum body weight loss was only 3 % compare to 22 % for gemcitabine.

2006:235096 HCAPLUS <<LOGINID::20081121>> DN 144:292980

AN

- TI Preparation of nucleoside-lipid conjugates as antiviral and antitumor agents
- IN Ahmad, Moghis U.; Ali, Shoukath M.; Khan, Abdul R.; Ahmad, Imran PA Neopharm, Inc., USA
- SO PCT Int. Appl., 72 pp.
- CODEN: PIXXD2
- Patent. DT
- LA Enalish FAN.CNT 1 PATENT NO

	- 114					LULIA		DILLI			LAL L D	I CITI	LOI			101		
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PI	WO 2006029081			A2 20060316		0316	WO 2005-US31543				20050902							
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
			NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
			SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
			ZA,	ZM,	ZW													
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,

KIND DATE APPLICATION NO DATE

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-606610P P 20040902

OS MARPAT 144:292980

T 878675-58-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside-lipid conjugates as antiviral and antitumor agents)

RN 878675-58-2 HCAPLUS

N 5'-Cytidylic acid, 2'-deoxy-2',2'-difluoro-,

(7R)-7-(hexyloxy)-1-[(6R)-6-(hexyloxy)-3-methoxy-3-oxido-2,4,8-trioxa-3-phosphatetradec-1-yl)-4-methoxy-4-oxido-3,5,9-trioxa-4-phosphapentadec-1-yl methyl ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleoside-lipid conjugates as antiviral and antitumor agents)

RN 878675-57-1 HCAPLUS

878675-57-1P

CN 5'-Cytidylic acid, 2'-deoxy-N-[(1,1-dimethylethoxy)carbonyl]-2',2'difluoro-, (7R)-7-(hexyloxy)-1-[(6R)-6-(hexyloxy)-3-methoxy-3-oxido-2,4,8trioxa-3-phosphatetradec-1-y1]-4-methoxy-4-oxido-3,5,9-trioxa-4-phosphapentadec-1-y1 methy1 ester, 3'-(1,1-dimethy1ethy1 carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L40 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Cytotoxic and Apoptotic Effects of Novel Heterodinucleoside Phosphates Consisting of 5-Fluorodeoxyuridine and Ara-C in Human Cancer Cell Lines In search for possible alternatives in the treatment of human malignancies we investigated several new heterodinucleoside phosphates containing of 5-Fluorodeoxyuridine (5-FdUrd) and Arabinofuranosylcytosine (Ara-C). We show that all dimers tested inhibited the number of colonies of CCL228, CCL227, 5-FU resistant CCL227 and HT-29 human colon tumor cells with IC50 values ranging from 0.65 to 1 nM. Dimer # 2 inhibited the number of sensitive and Ara-C resistant H9 human lymphoma cells with IC50 values ranging from 200 to 230 nM. Since no significant difference in the cytotoxicity of the dimers could be observed between sensitive and resistant cells, these compds. might be used in the treatment of 5-FU and Ara-C resistant tumors.

AN 2004:913157 HCAPLUS <<LOGINID::20081121>>

DN 142:148064

- TI Cytotoxic and Apoptotic Effects of Novel Heterodinucleoside Phosphates
- Consisting of 5-Fluorodeoxyuridine and Ara-C in Human Cancer Cell Lines AU Saiko, P.; Bauer, W.; Horvath, Z.; Hoechtl, T.; Grusch, M.; Illmer, C.; Madlener, S.; Krupitza, G.; Mader, R. M.; Schott, H.; Fritzer-Szekeres, M.; Szekeres, T.
- CS Clinical Institute of Med. and Chem. Laboratory Diagnostics, University of Vienna, Vienna, Austria
- SO Nucleosides, Nucleotides & Nucleic Acids (2004), 23(8 & 9), 1507-1511 CODEN: NNNAFY; ISSN: 1525-7770
- PB Marcel Dekker, Inc.
- DT Journal
- LA English
- IT 269743-30-8 830327-11-2
 - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cytotoxic and apoptotic effects of novel heterodinucleoside phosphates consisting of 5-fluorodeoxyuridine and Ara-C in human cancer)

RN 269743-30-8 HCAPLUS CN Uridine, β-D-arabino

Uridine, B-D-arabino-cytidylyloxy[2-(octadecyloxy)-1,3propanediyl]oxyphosphinico-(5'-5')-2'-deoxy-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

- RN 830327-11-2 HCAPLUS
- CN Uridine, B-D-arabino-cytidylyloxy[2-[(octadecyloxy)methyl]-1,2ethanediyl]oxyphosphinico-(5'-5')-2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

TI In vitro and in vivo antitumor activity of novel amphiphilic dimers consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine

Various heterodinucleoside phosphates of 5-fluorodeoxyuridine (5-FdUrd) AB and arabinofuranosylcytosine (Ara-C) have recently been synthesized as potent chemotherapeutic agents. 5-Fluorodeoxyuridine is being used in patients with colorectal carcinoma, whereas Ara-C is one of the most effective agents in the treatment of hematol. malignancies. We now investigated the action of three novel amphiphilic dimers with different structures in various 5-fluorouracil (5-FU) sensitive and resistant human colon tumor cell lines (CCL228, CCL227, 5-FU resistant CCL227 and HT-29) as well as in L1210 murine leukemia cells. Activity of the heterodimers was determined by clonogenic and growth inhibition assays including the induction of programmed cell death. In addition, the in vivo effects were tested in L1210 leukemia bearing mice. We show that these compds. inhibited the number of colonies of 5-FU sensitive and resistant human colon tumor cell lines with IC50 values ranging from 0.65 to 1 nM. The investigated dimers induced dose-dependent apoptosis in HT-29 colon tumor cells as well as in L1210 leukemia cells. No significant difference in the cytotoxicity of these agents could be observed between 5-FU sensitive and resistant cells, indicating that these compds. might be used in the treatment of 5-FU resistant tumors. In L1210 leukemia bearing mice the survival of tumor-bearing animals was significantly increased in comparison with untreated control animals. We therefore conclude that these new heterodinucleoside phosphates of 5-FdUrd and Ara-C might be an addnl. option for the treatment of sensitive and 5-FU resistant colon cancer and hematol. malignancies.

AN 2004:699885 HCAPLUS <<LOGINID::20081121>>

DN 142:86030

I In vitro and in vivo antitumor activity of novel amphiphilic dimers

consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine

AH Saiko, Philipp; Horvath, Zsuzsanna; Bauer, Wolfgang; Hoechtl, Thomas; Grusch, Michael; Krupitz, Georg; Rauko, Peter; Mader, Robert M.; Jaeger, Walter; Schott, Herbert; Novotny, Ladislav; Fritzer-Szekeres, Monika; Szekeres, Thomas

- Clinical Institute of Med. and Chem. Laboratory Diagnostics, Medical University of Vienna, Vienna, A-1090, Austria
- International Journal of Oncology (2004), 25(2), 357-364

CODEN: IJONES; ISSN: 1019-6439

- PB International Journal of Oncology
- DT Journal
- LA English
- IT 819805-88-4 819805-89-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(in vitro and in vivo antitumor activity of novel amphiphilic dimers consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine)

RN 819805-88-4 HCAPLUS

CN Uridine, β-D-arabino-cytidylyloxy[(2R)-2-(octadecyloxy)-1,3propanediyl]oxyphosphinico-(5'→5')-2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- 819805-89-5 HCAPLUS
- CN Uridine, β-D-arabino-cytidylyloxy[(2S)-2-[(octadecyloxy)methyl]-1,2ethanediyl]oxyphosphinico-(5'→5')-2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME)

PAGE 1-B

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L40 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Hard tissue adhesive composition containing acid group-containing
- polymerizable monomer.
- AB Transparent hard tissue adhesives, e.g., for enamel and dentin, with improved bonding durability in water, comprise a water-insol., acid
- group-containing polymerizable monomer. An adhesive composition was prepared containing
- Na 10-methacryloyloxydecyl phosphate, Bis-GMA, HEMA, camphorquinone and DMAB.
- AN 2000:865147 HCAPLUS <<LOGINID::20081121>>
- DN 134:21517
- TI Hard tissue adhesive composition containing acid group-containing polymerizable monomer.
- IN Nakatsuka, Kazumitsu
- PA Kuraray Co., Ltd., Japan
- SO Eur. Pat. Appl., 18 pp.
- CODEN: EPXXDW DT Patent
- LA English
- LA Eligits

FAN.C	NT 1																
PATENT NO.						KIND DATE		APPLICATION NO.						DATE			
						-			-								
PI	EP 1	057468			A1		2000	1206	E	ΞP	2000-	1102	96		2	0000	523
	EP 1	057468			B1		2006	0719									
		R: AT	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	CY									
	JP 2	0010493	199		A		2001	0220	į.	JΡ	2000-	1431	50		2	0000	516
	TW 2	62795			В		2006	1001	1	ΓW	2000-	8910	9843		2	0000	522
	CA 2	309004			A1		2000	1130	(CA	2000-	2309	004		2	0000	523
	AT 3	33261			T		2006	0815	7	AΤ	2000-	1102	96		2	0000	523

	ΑU	775989	B2	20040819	ΑU	2000-36447	20000526
	CN	1277834	A	20001227	CN	2000-117988	20000531
	CN	1152661	C	20040609			
	US	6512068	B1	20030128	US	2000-583767	20000531
	HK	1033743	A1	20050324	HK	2001-104295	20010620
PRAI	JP	1999-152131	A	19990531			

IT 310411-75-7

RL: POF (Polymer in formulation); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(hard tissue adhesive composition containing acid group-containing polymerizable monomer)

RN 310411-75-7 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, 10,15,15-trihydroxy-10,15-dioxido-12-[(phosphonooxy]methyl]-9,11,14-trioxa-10,15-diphosphapentadec-1-yl ester (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'REGISTRY' ENTERED AT 17:38:05 ON 21 NOV 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ${\tt ZIC/VINITI}$ data file provided by ${\tt InfoChem.}$

STRUCTURE FILE UPDATES: 20 NOV 2008 HIGHEST RN 1073589-44-2 DICTIONARY FILE UPDATES: 20 NOV 2008 HIGHEST RN 1073589-44-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

_ <

Uploading C:\Program Files\STNEXP\Queries\10821739pyrophosphate2.str

```
chain nodes : 1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 11 \quad 16 \quad 17 \quad 19 \quad 20 \quad 21 \quad 22 \quad 23 chain bonds : 1 \quad 2 \quad 1-3 \quad 1-5 \quad 1-19 \quad 2-6 \quad 3-4 \quad 4-7 \quad 5-16 \quad 6-17 \quad 8-9 \quad 8-10 \quad 16-20 \quad 16-22 \quad 16-23 \quad 20-21
```

exact/norm bonds : $1-5 \ 4-7 \ 5-16 \ 6-17 \ 8-9 \ 8-10 \ 16-20 \ 16-22 \ 16-23 \ 20-21$ exact bonds : $1-2 \ 1-3 \ 1-19 \ 2-6 \ 3-4$

G1:[*1],[*2]
Connectivity:

10:1 X maximum RC ring/chain 11:1 X maximum RC ring/chain
Match level:
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS

L41 STRUCTURE UPLOADED

=> d 141 L41 HAS NO ANSWERS L41 STR



CH2 CH2 CH2 G1 F03H2

G1 [@1], [@2]

Structure attributes must be viewed using STN Express query preparation.

=> s 141

SAMPLE SEARCH INITIATED 17:38:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 188 TO ITERATE

100.0% PROCESSED 188 ITERATIONS SEARCH TIME: 00.00.01 0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
PROJECTED ITERATIONS: 2938 TO 4582
PROJECTED ANSWERS: 0 TO 0

L42 0 SEA SSS SAM L41

=> s 141 sss full

FULL SEARCH INITIATED 17:38:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3994 TO ITERATE

100.0% PROCESSED 3994 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L43 0 SEA SSS FUL L41

=> log hold

 COST ÎN U.S. DOLLARS
 SINCE FILE
 TOTAL

 FULL ESTIMATED COST
 178.36
 1119.2

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
ENTRY
CA SUBSCRIRER PRICE 0.00 -341.40

SESSION WILL BE HELD FOR 120 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 17:38:42 ON 21 NOV 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:SSPTAEX01623

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * SESSION RESUMED IN FILE 'REGISTRY' AT 17:41:13 ON 21 NOV 2008 FILE 'REGISTRY' ENTERED AT 17:41:13 ON 21 NOV 2008 COPYRIGHT (C) 2008 American Chemical Society (ACS)

colinioni (c) 2000 American chemical Society (Acs

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
178.36 1119.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL
ENTRY SESSION
ENTRY SESSION

0.00

-34.40

CA SUBSCRIBER PRICE

=> Uploading C:\Program Files\STNEXP\Queries\10821739fattyphosphate.str

chain nodes:
2 3 4
chain bonds:
2-3 3-4
exact/norm bonds:
2-3 3-4

G1

Connectivity:
2:1 X maximum RC ring/chain
Match level:
2:CLASS 3:CLASS 4:CLASS
Generic attributes:
2:
Number of Carbon Atoms: 7 or more

L44 STRUCTURE UPLOADED

=> s 144

SAMPLE SEARCH INITIATED 17:41:41 FILE 'REGISTRY'

23.6% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01 13 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 164296 TO 175344
PROJECTED ANSWERS: 658 TO 1548

L45 13 SEA SSS SAM L44

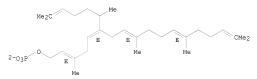
=> d 145 scan

L45 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 2,5,8,12,16-Octadecapentaen-1-ol, 6-(1,5-dimethyl-4-hexen-1-yl)-3,9,13,17-tetramethyl-, 1-(dihydrogen phosphate), ion(2-), (2E,5E,8E,12E)MF C30 H49 04 P

CI COM

Double bond geometry as shown.



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L45 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

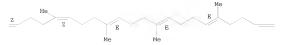
IN 2,6,10,14,18,22,26,30,34,38,42,46-Octatetracontadodecaen-1-ol, 2,6,10,14,18,22,26,30,35,39,43,47-dodecamethyl-, dihydrogen phosphate, (22,62,102,142,182,222,262,302,34E,38E,42E)- (9CI)

MF C60 H99 O4 P

CI COM

Double bond geometry as shown.

PAGE 1-A



PAGE 1-C

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- 2,6-Octadien-1-ol, 3,7-dimethyl-, 1-(dihydrogen phosphate), sodium salt (1:2), (2E)-C10 H19 O4 P . 2 Na

Double bond geometry as shown.

●2 Na

- L45 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2,6,10,14,18,22,26,30,34,38,42,46,50,54,58,62,66,70-Doheptacontaoctadecaen-1-o1, 3,7,11,15,19,23,27,31,35,39,43,47,51,55,59,63,67,71-octadecamethyl-, dihydrogen phosphate, diammonium salt (9CI)
- MF C90 H147 O4 P . 2 H3 N





PAGE 1-C

PAGE 1-D

PAGE 1-E

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 144 sss full

FULL SEARCH INITIATED 17:42:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 171848 TO ITERATE

100.0% PROCESSED 171848 ITERATIONS SEARCH TIME: 00.00.04 1484 ANSWERS

L46 1484 SEA SSS FUL L44

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY FULL ESTIMATED COST 357.18 1298.04 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -34.40

FILE 'HCAPLUS' ENTERED AT 17:42:20 ON 21 NOV 2008

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FILE COVERS 1907 - 21 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 20 Nov 2008 (20081120/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 146/thu 3738 L46 1070979 THU/RL L47 95 L46/THU

(L46 (L) THU/RL)

=> s cholesterol or hyperlipidem? or atherosclerosis or neointim? or artery or arterial

192334 CHOLESTEROL 16996 HYPERLIPIDEM? 63834 ATHEROSCLEROSIS 3676 NEOINTIM? 151893 ARTERY 100583 ARTERIAL

L48 428791 CHOLESTEROL OR HYPERLIPIDEM? OR ATHEROSCLEROSIS OR NEOINTIM? OR ARTERY OR ARTERIAL

=> s 147 and 148 L49 7 L47 AND L48

=> d 149 1-7 ti abs bib hitstr

L49 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Formulations of active principles incorporated in solid lipid nanoparticles suitable for transdermal administration

AB The present invention relates to formulations suitable for transdermal administration containing solid lipid nanoparticles which contain active principles with a very short half-life and/or drugs with high activity. A microemulsion was prepared containing Epikuron-200 4.9%, stearic acid 4.56%, benzoic acid 4.2%, melatonin 3.1%, sodium taurocholate 7.1%, and water 76.2%.

AN 2008:447484 HCAPLUS <<LOGINID::20081121>>

DN 148:410811

TI Formulations of active principles incorporated in solid lipid nanoparticles suitable for transdermal administration

```
TN
    Gasco, Maria Rosa
PA
    Nanovector S.r.l., Italy
SO
    PCT Int. Appl., 13pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
    WO 2008041116 A2 00001
    PATENT NO.
                       KIND DATE APPLICATION NO. DATE
                       A2 20080410 WO 2007-IB2971 20071005
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            GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
            KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
            MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
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            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
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            BY, KG, KZ, MD, RU, TJ, TM
PRAI IT 2006-MI1918
                    A 20061006
   3539-43-3, Hexadecyl phosphate
    RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (formulations of active principles incorporated in solid lipid
       nanoparticles suitable for transdermal administration)
    3539-43-3 HCAPLUS
RN
CN
    1-Hexadecanol, 1-(dihydrogen phosphate) (CA INDEX NAME)
H2O3PO- (CH2)15-Me
L49 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ΤI
    Contrast agents for myocardium perfusion diagnostic imaging
AΒ
    The present invention is directed, in part, to compds. and methods for
    diagnostic imaging, comprising administering to a patient a contrast agent
    which has an overall neg, charge,
AN
    2007:673645 HCAPLUS <<LOGINID::20081121>>
DN
    147:90164
TΙ
    Contrast agents for myocardium perfusion diagnostic imaging
IN
    Edwards, D. Scott; Casebier, David S.
PA
    Bristol-Myers Squibb Pharma Company, USA
    PCT Int. Appl., 54pp.
SO
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                       KIND DATE APPLICATION NO. DATE
    WO 2007070827
                        A2 20070621
A3 20071011
                                         WO 2006-US62006
                                                                 20061213
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    WO 2007070827
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            MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
            RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
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            CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
            GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
    US 20070140973
                        A1 20070621
                                           US 2006-610216
                                                                 20061213
PRAI US 2005-750654P
                         Р
                               20051215
    MARPAT 147:90164
    5116-94-9
```

IT

RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(contrast agent containing; contrast agents for myocardium perfusion diagnostic imaging)

RN 5116-94-9 HCAPLUS

CN 1-Tridecanol, 1-(dihydrogen phosphate) (CA INDEX NAME)

H203PO- (CH2)12-Me

- L49 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
- Methods for concentration and extraction of lubricity compounds and biologically active fractions from naturally derived fats, oils and greasés
- AB Methods for recovery of concs. of lubricating compds. and biol. active compds. from vegetable and animal oils, fats and greases that allow separation of triglycerides, from components with higher lubricity or biol. activity or enrichment protocols that increase the concentration of high lubricity or biol. active compds. in the triglyceride. The triglycerides are transesterified with a lower alc. to produce alkyl esters. Following the conversion process the esters are separated from high mol. weight high

lubricity compds. and biol. active compds. by distillation The esters have some lubricity

and may be sold as pollution reducing fuel components. The high b.p. compds. that are the residues of distillation, however, can either contribute significant lubricity and may be used widely in lubricant applications or added to petroleum fuels to decrease friction or the biol. active components may be used in nutritional, cosmetic and therapeutic applications. Therapeutic applications include use in human diets to lower cholesterol.

AN 2007:611270 HCAPLUS <<LOGINID::20081121>>

DN 147:55135

- ΤТ Methods for concentration and extraction of lubricity compounds and biologically active fractions from naturally derived fats, oils and greases
- IN Reaney, Martin J.; Piette, Gabriel; Hertz, Phillip Barry; Westcott, Neil
- PA Her Majesty In Right of Canada as Represented by the Minister of Agriculture and Agri-Food Canada, Can.

PCT Int. Appl., 43pp.

CODEN: PIXXD2

Pat.ent.

LA English FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2007062512	A1	20070607	WO 2006-CA1938	20061130	

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     US 20070124991
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PRAI US 2005-290781
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     US 2006-600747
                                20061117
                          Α
     WO 2006-CA1938
                          W
                                20061130
     34457-14-2P, Dolichol phosphate
     RL: FFD (Food or feed use); MOA (Modifier or additive use); PUR
     (Purification or recovery); TEM (Technical or engineered material use);
     THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (methods for concentration and extraction of lubricity compds. and biol.
active
        fractions from naturally derived fats, oils and greases)
    34457-14-2 HCAPLUS
    6, 10, 14, 18, 22, 26, 30, 34, 38, 42, 46, 50, 54, 58, 62, 66, 70, 74, 78-
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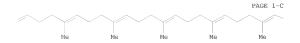
3,7,11,15,19,23,27,31,35,39,43,47,51,55,59,63,67,71,75,79-eicosamethyl-,

PAGE 1-A Me 2C Me Me Me Me

1-(dihydrogen phosphate) (CA INDEX NAME)

RM CN







RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L49 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
- ΤI Serum-stable amphoteric liposomes for the delivery of oligonucleotide drugs
- AB The invention concerns amphoteric liposomes for the formulation of at least one oligonucleotide drug in an aqueous medium inside the liposomes; the liposomes are composed of membranes containing: (a) 20-65 mol% of neutral lipids; (b) cholesterol 35-45 mol%; and as charge carrying lipids either (c) 5-20 mol% amphoteric lipids; or (d) 15-45 of a mixture including cationic and anionic lipids. Formulations are prepared for DNA, RNA, antisense oligonucleotides, aptamers, spiegelmers. Encapsulated oligonucleotides can be also used for transfection. A typical liposome has a molar composition of DMPC/4-(2-aminoethyl)-morpholinocholesterolhemisuccinate/DMPS/cholesterol 40/10/10/40.
- 2006:446145 HCAPLUS <<LOGINID::20081121>> AN
- DN 144:456558
- TI Serum-stable amphoteric liposomes for the delivery of oligonucleotide druas
- PA Novosom AG, Germany
- Ger. Offen., 16 pp., Addn. to Ger. Offen 102,004,016,020. SO CODEN: GWXXBX
- DT Patent
- LA German

FAN.	PA:	PENT				KIN		DATE				ICAT					ATE	
PI		1020						2006	0511			004-					0041	
	DE	1020	0401	6020		A1		2005	1110		DE 2	004-	1020	0401	6020	2	0040	328
	AU	2005	2294	85		A2		2005	1013		AU 2	005-	2294	85		2	0050	329
		2005						2005	1013									
	CA	2561	247			A1		2005	1013		CA 2	005-	2561	247		2	0050	329
		2005									WO 2	005-	DE58	9		2	0050	329
	WO	2005																
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												SD,						
												UZ,						
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												BE,						
												IT,						
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	EP	1734																
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												RO,						
		2007									JP 2	007-	5042	51		2	0050	329
PRAI	DE	2004	-102	0040	1602	0 A1		2004	0328									

DE 2004-102004054730 A 20041105 WO 2005-DE589 20050329

3539-43-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (serum-stable amphoteric liposomes for delivery of oligonucleotide

3539-43-3 HCAPLUS RN

CN 1-Hexadecanol, 1-(dihydrogen phosphate) (CA INDEX NAME)

H2O3PO- (CH2) 15-Me

- L49 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
- Preparation method for collagenase double emulsion ΤI
- AB The title double emulsion is composed of collagenase as active ingredient, bacteriostatic agent, and medicinal adjuvants. The preparation method comprises: (1) preparing aqueous solution with collagenase, dividing into W1 and W2,
- (2) preparing oil solution with phenylethanol, (3) adding lipophilic emulsifvina

agent into the W1 and the oil solution to obtain W1/O type emulsion, (4) emulsifying the W1/O and W2 with hydrophilic emulsifying agent to obtain the form of W1/O/W2 double emulsion, with a particle diameter less than 1 μm. The preparation is capable of improving the skin or mucosal permeability of drug, reducing the irritation to skin, increasing the absorption and bioavailability of drug, and reducing the side toxic effects. The emulsion also has long action and sustained/controlled-release effect. With the addition of bacteriostatic agent, the preparation is capable of protecting the wound from infection to facilitate wound healing.

- 2005:1298279 HCAPLUS <<LOGINID::20081121>> AN
- 144:57501 DN
- TΙ Preparation method for collagenase double emulsion
- IN Wang, Li; Han, Qinghui
- PA Shanghai Joy Biophar. Co., Ltd., Peop. Rep. China; Huang, Weihong
- SO Faming Zhuanli Shenging Gongkai Shuomingshu, 10 pp.
- CODEN: CNXXEV
- Patent T.A Chinese

RN

FAN.	CNT	1
	PAT	TMET

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1579547	A	20050216	CN 2003-142069	20030805
PRAI	CN 2003-142069		20030805		

PRAI CN 2003-142069

7423-32-7, Sodium laurvl phosphate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation method for collagenase double emulsion)

7423-32-7 HCAPLUS

CN Phosphoric acid, monododecyl ester, sodium salt (1:2) (CA INDEX NAME)

H2O3PO- (CH2)11-Me

```
L49 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
    Serum-stable amphoteric liposomes for the delivery of oligonucleotides
AR
    The invention relates to amphoteric liposomal formulations which are
    provided with great serum stability and are suitable for the intracellular
    delivery of oligonucleotides. The serum-stable liposomal formulations
    with at least one active substance in their aqueous inner part are prepared
     (al) neutral lipids at 10-30 mol% in the membrane; (b1)
    cholesterol at 30-50 mo% in the membrane; or (a2) amphoteric
    lipids at 5-30 mol%; (b2) mixture of anionic and cationic lipids at maximum 50
    mol%; (c) at least one oligonucleotide. The formulations are applied i.v.
    Thus liposomes were prepared; a mixture of DMPC/MoChol/DGSucc/Chol 40:10:10:40
    mol% was used to encapsulate Cy5.5-labeled CD40 anitsense
    -oligonucleotide.
    2005:1103545 HCAPLUS <<LOGINID::20081121>>
AN
DN
    143:392968
ΤI
    Serum-stable amphoteric liposomes for the delivery of oligonucleotides
IN
    Endert, Gerold; Kerwitz, Yvonne; Fellermeier, Monika
PA
    Novosom AG, Germany
SO
    PCT Int. Appl., 28 pp.
    CODEN: PIXXD2
DT
    Patent
    German
FAN.CNT 2
                      KIND DATE
                                         APPLICATION NO.
    PATENT NO.
    WO 2005094783
                       A2 20051013
ΡI
                                        WO 2005-DE589
                                                                20050329
    WO 2005094783
                       A3 20060302
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
            GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
            LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO,
            NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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            RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
    DE 102004016020 A1 20051110 DE 2004-102004016020 20040328
    DE 102004054730
                       A1 20060511 DE 2004-102004054730 20041105
    AU 2005229485
                       A2 20051013 AU 2005-229485
                                                                20050329
    AU 2005229485
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    CA 2561247
                        A1
                              20051013
                                         CA 2005-2561247
                                                                20050329
                              20061227 EP 2005-740433
    EP 1734928
                        A2
                                                                20050329
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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    JP 2007530462
                        T
                            20071101 JP 2007-504251 20050329
20070831 IN 2006-DN5781 20061005
    IN 2006DN05781
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PRAI DE 2004-102004016020 A
    DE 2004-102004054730 A
                    W
                              20050329
    WO 2005-DE589
    3539-43-3
    RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (serum-stable amphoteric liposomes for delivery of oligonucleotides)
RN
    3539-43-3 HCAPLUS
```

1-Hexadecanol, 1-(dihydrogen phosphate) (CA INDEX NAME)

=> file registry

```
L49 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
    Compositions and methods for treating elevated blood cholesterol
TT
AB
    The present invention relates to compns. and methods for treating elevated
    blood cholesterol in a mammal while counteracting the occurrence
    of potentially adverse side effects such as myopathy. The compns. useful
     herein comprise the combination of a pharmaceutically effective amount of a
     3-hydroxy-3-methylglutaryl CoA reductase inhibitor ("HMG-CoA reductase
    inhibitor") and a geranylgeraniol compound to a mammal in need thereof. A
     tablet contained simvastatin 10, geranylgeraniol 0.75, BHA 0.02, ascorbic
     acid 2.5, citric acid 1.25, microcryst. cellulose 5, pregel starch 10, Mg
    stearate 0.5, and lactose 74.73 mg.
    1999:819245 HCAPLUS <<LOGINID::20081121>>
AN
DN
    132:54899
ΤI
    Compositions and methods for treating elevated blood cholesterol
IN
    Scolnick, Edward M.
   Merck & Co., Inc., USA
PA
SO
    PCT Int. Appl., 28 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LA
FAN.CNT 1
                       KIND DATE
                                         APPLICATION NO.
     PATENT NO.
                        A1 19991229 WO 1999-US13887
ΡI
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            MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR,
            TT, UA, US, UZ, VN, YU, ZA
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
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            CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2335366
                        A1 19991229 CA 1999-2335366
                                                                 19990621
     AU 9946989
                         A
                              20000110
                                         AU 1999-46989
                                                                 19990621
     AU 754767
                        B2 20021121
     EP 1089731
                        A1
                              20010411
                                         EP 1999-930451
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
            SI, LT, LV, FI, RO
     JP 2002518448
                     T
                             20020625
                                          JP 2000-555615
PRAI US 1998-90527P
                        P
                              19980624
    GB 1998-17167 A
WO 1999-US13887 W
                             19980806
                              19990621
    MARPAT 132:54899
OS
IT
    68982-81-0
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological
     study); USES (Uses)
        (compns. containing HMG-CoA reductase inhibitor and geranylgeraniol compds.
        for treating elevated blood cholesterol)
RN
    68982-81-0 HCAPLUS
    2,6,10,14-Hexadecatetraen-1-ol, 3,7,11,15-tetramethyl-, 1-(dihydrogen
     phosphate), (2E,6E,10E)- (CA INDEX NAME)
```

 COST IN U.Š. DOLLARS
 SINCE FILE
 TOTAL

 FULL ESTIMATED COST
 0.21
 0.21

FILE 'REGISTRY' ENTERED AT 12:21:21 ON 24 NOV 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 23 NOV 2008 HIGHEST RN 1074766-44-1 DICTIONARY FILE UPDATES: 23 NOV 2008 HIGHEST RN 1074766-44-1

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Queries\10821739serinephosphoric.str

chain nodes:
1 2 3 4 5 6 7
chain bonds:
1-2 2-3 3-4 4-5 4-6 4-7
exact/norm bonds:
1-2 4-6
exact bonds:
2-3 3-4 4-5 4-7

Match level: 1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS

L1 STRUCTURE UPLOADED

=> s 11 SAMPLE SEARCH INITIATED 12:21:36 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 308 TO ITERATE

100.0% PROCESSED 308 ITERATIONS SEARCH TIME: 00.00.01

2 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 5108 TO 7212 PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> d 12 scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN D-Serine, O-phosphono-

MF C3 H8 N O6 P

CI COM

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Serine, dihydrogen phosphate (ester), barium salt (1:1) (9CI)

MF C3 H8 N O6 P . Ba

NH2

HO2C-CH-CH2-OPO3H2

• ва

ALL ANSWERS HAVE BEEN SCANNED

=> log hold

COST IN U.S. DOLLARS

SINCE FILE ENTRY

0.46

TOTAL SESSION 0.67

FULL ESTIMATED COST

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 12:21:53 ON 24 NOV 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAEX01623

PASSWORD:

E3

* * * * * * RECONNECTED TO SIN INTERNATIONAL * * * * * * * SESSION RESUMED IN FILE 'REGISTRY' AT 12:34:59 ON 24 NOV 2008 FILE 'REGISTRY' ENTERED AT 12:34:59 ON 24 NOV 2008

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0 --> SERINE PHOSPHATE/CN

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COST IN U.S.	DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATE	ED COST	0.46	0.67
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E2	1 SERINE PHOSPHOLIPID PH		
E3	0> SERINE PHOSPHORIC ACID		
E4	1 SERINE PROTEASE/CN		
E5	1 SERINE PROTEASE (ACINE	TOBACTER BAUMANNII ST	RAIN ATCC 17978)/
	CN		
E6	1 SERINE PROTEASE (ACINE	TOBACTER STRAIN ADP1)	/CN
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	MENT)/CN		
E8	1 SERINE PROTEASE (ACREM MENT)/CN	ONIUM STRAIN F11177 I	SOFORM AS-E2 FRAG
E9	1 SERINE PROTEASE (AEDES CLU32)/CN	AEGYPTI STRAIN BLACK	EYE ISOLATE AEA_
E10	1 SERINE PROTEASE (AERON 7966)/CN	ONAS HYDROPHILA HYDRO	PHILA STRAIN ATCC
E11	1 SERINE PROTEASE (AERON	ONAS SALMONICIDA SUBS	P. SALMONICIDA GE
	NE ASPA)/CN		
E12	1 SERINE PROTEASE (AGROE	ACTERIUM TUMEFACIENS	STRAIN C58 GENE A
	TU4566)/CN		
=> exp serine	nhoenh/cn		
E1	1 SERINE PEPTIDASE, CLAN	OP FAMILY SEG (IFTS	HMANTA MAJOR STRA
	IN FRIEDLIN)/CN	01, 1141111 000 (11110	
E2	2 SERINE PHENYLTHIOHYDAN	ITOIN/CN	
E3	0> SERINE PHOSPH/CN	101117 011	
E 4	2 SERINE PHOSPHATASE/CN		
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	GENE RSBU)/CN		
E6	1 SERINE PHOSPHATASE (BA	CILLUS LICHENIFORMIS	STRAIN ATCC 14580
	GENE RSBX)/CN		
E7	1 SERINE PHOSPHATASE (BA	CILLUS LICHENIFORMIS	STRAIN ATCC 14580
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	TILIS GENE RSBX)/CN		
E10	1 SERINE PHOSPHATASE (DE	PHOSPHORYLATION OF RS	BV) (BACILLUS SUB
	TILIS GENE RSBU)/CN		
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E12	1 SERINE PHOSPHATASE (GE	OBACILLUS THERMODENIT	RIFICANS STRAIN N
	G80-2)/CN		
=> exp sering	e phosphate/cn		
El exp serine	1 SERINE PHOSPHATASE, RE	GILLATOR OF SIGMA SUBIL	NIT (LEPTOSPIRA B
	ORGPETERSENII HARDJO-E		
E2	1 SERINE PHOSPHATASE, RE		
	ORGPETERSENII HARDJO-E		,
m 2	A CERTAIN DUCCRUSTER (ON		

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E4
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                   SERINE PHOSPHATE PHOSPHATASE/CN
E5
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                   SERINE PHOSPHATE, L-/CN
E6
             1
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                   SERINE PROTEASE/CN
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E8
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L3
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=> d 13
     ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
     407-41-0 REGISTRY
RN
ED
     Entered STN: 16 Nov 1984
CN
    L-Serine, O-phosphono- (CA INDEX NAME)
OTHER CA INDEX NAMES:
    L-Serine, dihydrogen phosphate (ester) (9CI)
    Serine phosphate, L- (6CI)
CN
    Serine, dihydrogen phosphate (ester), L- (8CI)
OTHER NAMES:
CN
    3-0-Phosphoserine
CN
    Dexfosfoserine
CN
    Fosforina
CN L-3-Phosphoserine
CN
   L-0-Phosphoserine
CN
    L-O-Serine phosphate
CN L-Phosphoserine
CN L-Serinephosphoric acid
CN L-Seryl phosphate
CN
    O-Phospho-L-serine
CN
    O-Phosphoryl-L-serine
CN O-Phosphorylserine
CN Phospho-L-serine
CN
   Serine dihydrogen phosphate (ester)
CN
    Serine O-phosphate
CN
    Seriphos
FS
    STEREOSEARCH
DR
     474519-60-3, 7331-08-0, 1118-86-1, 72909-32-1
MF
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CI
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LC
     STN Files:
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       SPECINFO, TOXCENTER, USAN, USPAT2, USPATFULL, USPATOLD
         (*File contains numerically searchable property data)
     Other Sources:
                     EINECS**, WHO
         (**Enter CHEMLIST File for up-to-date regulatory information)
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Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2187 REFERENCES IN FILE CA (1907 TO DATE)
104 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
2193 REFERENCES IN FILE CAPLUS (1907 TO DATE)
78 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> file hcaplus COST IN U.S. DOLLARS FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 8.53 8.74

FILE 'HCAPLUS' ENTERED AT 12:35:58 ON 24 NOV 2008
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FILE COVERS 1907 - 24 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 23 Nov 2008 (20081123/ED)

 ${\tt HCAplus}$ now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13/thu 2193 L3 1071330 THU/RL L4 60 L3/THU (L3 (L) THU/RL)

=> s 14 and (PY<2003 or AY<2003 or PRY<20030 UNMATCHED LEFT PARENTHESIS 'AND (PY<2003' The number of right parentheses in a query must be equal to the number of left parentheses.

=> s 14 and (PY<2003 or AY<2003 or PRY<2003) 22961900 PY<2003

4500211 AY<2003 3968587 PRY<2003 31 L4 AND (PY<2003 OR AY<2003 OR PRY<2003)

=> d 15 1-31 ti abs bib

1.5

L5 ANSWER 1 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

- TI Antibody-label complexes and methods for antigen or ligand immunolabeling or detection, diagnosis and therapy
- The present invention provides labeling reagents and methods for labeling primary antibodies and for detecting a target in a sample using an immuno-labeled complex that comprises a target-binding antibody and one or more labeling reagents. The labeling reagents comprise monovalent antibody fragments or non-antibody monomeric proteins whereby the labeling reagents have affinity for a specific region of the target-binding antibody and are covalently attached to a label. Typically, the labeling reagent is an anti-Fc Fab or Fab' fragment that was generated by immunizing a goat or rabbit with the Fc fragment of an antibody. The present invention provides for discrete subsets of labeling reagent and immuno-labeled complexes that facilitate the simultaneous detection of multiple targets in a sample wherein the immuno-labeled complexes are distinguished by (i) a ratio of label to labeling reagent, or (ii) a phys. property of said label, or (iii) a ratio of labeling reagent to said target-binding antibody, or (iv) by said target-binding antibody. This is particularly useful for fluorophore labels that can be attached to labeling reagents and subsequently immuno-labeled complexes in ratios for the detection of multiple targets.
- AN 2007:1334578 HCAPLUS <<LOGINID::20081124>>
- DN 148:9415
- TI Antibody-label complexes and methods for antigen or ligand immunolabeling or detection, diagnosis and therapy
- IN Beechem, Joseph; Hagen, David; Johnson, Iain
- PA USA
- SO U.S. Pat. Appl. Publ., 74pp., Cont.-in-part of U.S. Ser. No. 467,550. CODEN: USXXCO
- DT Patent LA English
- LA ENGII

	FAN	.CN	Г2
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	PA:	TENT :	NO.			KIN	D	DATE		i	APPL	ICAT	ION I	NO.		D	ATE	
PI	US 20070269902 US 20030073149 WO 2003030817 WO 2003030817				A2 20030417			US 2003-666291 US 2002-118204 WO 2002-US31416					20020405 <					
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		2005	KG, FI, CG, 0069	KZ, FR, CI, 962	MD, GB, CM,	RU, GR, GA, A1	TJ, IE, GN,		AT, LU, GW, 0331	BE, MC, ML,	BG, NL, MR, US 2	CH, PT, NE,	CY, SE, SN, 4675	CZ, SK, TD,	DE, TR, TG	DK, BF,	EE, BJ, 0041	ES, CF, 012 <
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JP 2003-533851 A3 20021002 <--

OS MARPAT 148:9415

L5 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Method for purification of naturally phosphorylated peptide micelle and its uses

AB An invention involving a procedure for the preparation of phosphorylated peptides starting from hydrolyzate casein to obtain a peptidic micelle with a high degree of purity and solubility. The micelle contains a high percentage of phosphoserine of at least 25%. The micelle may be used for intestinal absorption of iron, calcium, gold, lithium, magnesium, and zinc, and for the delivery of caffeine nicotinate in the treatment of immorence.

AN 2003:995494 HCAPLUS <<LOGINID::20081124>>

DN 140:19807

TI Method for purification of naturally phosphorylated peptide micelle and its uses

IN Galzigna, Lauro

PA Medestea Internazionale S.r.l., Italy

SO Ital., 21 pp. CODEN: ITXXBY

DT Patent

LA Italian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IT 1305125	B1	20010410	IT 1998-TO891	19981021 <
	IT 98T00891	A1	20000421		
	CA 2286971	A1	20000421	CA 1999-2286971	19991020 <
PRAI	IT 1998-T0891	A	19981021	<	

L5 ANSWER 3 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Human cDNAs encoding separase, methods for modulation of separase activity in sister chromatid DNA separation, and uses thereof

AB The invention provides nucleic acid mols., designated separase nucleic acid mols., which encode separase, an endopeptidase that modulates sister chromatid separation The invention also provides recombinant expression vectors containing separase nucleic acid mols. and host cells into which the expression vectors have been introduced. The invention still further provides separase proteins, fusion proteins, antigenic peptides and anti-separase antibodies. The invention also provides methods for the identification of modulators of separase, methods of modulating separase, methods of modulating sister chromatid separation at metaphase, and methods for the treatment of disorders related to aberrant sister chromatid separation, such as cancer, Down's syndrome, and spontaneous fetal abortion. Sister chromatid cohesion is mediated by a multiprotein complex, cohesin. At the metaphase to anaphase transition in vertebrates, cohesin complexes in centromeric regions are removed by cleavage of the cohesin subunit SCC1 by a cysteine endopeptidase, separase. Before anaphase, separase is inhibited by association with the inhibitor securin and by CDC2/cyclinB1-mediated phosphorylation of separase. Human separase cDNA containing a putative unspliced intron was cloned and an expression vector was developed for an in vitro separase activity assay. In cell exts. with high CDC2 activity, separase was inactive even in the absence of securin and some cleavage,, possibly self-cleavage, of separase was observed Phosphopeptide mapping and site-directed mutagenesis demonstrated that inhibitory phosphorylation of separase is due to phosphorylation at serine residue 1126 and threonine residue 1346. Phosphorylation site mutants rescued sister chromatid separation and cohesin cleavage in a cell extract with high CDC2 activity.

- DN 139:65403
- TI Human cDNAs encoding separase, methods for modulation of separase activity in sister chromatid DNA separation, and uses thereof
- IN Kirschner, Marc W.; Stemmann, Olaf; Zou, Hui; Gygi, Steven P.
- PA President and Fellows of Harvard College, USA: Gerber, Scott A.
- SO PCT Int. Appl., 97 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.	CNT 1 PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.			ATE	
PI	WO 200	30521	20				2003	0626		WO 2	002-	JS40	085				216 <
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			SE,				TJ,										
	RW	GH,	GM,				MZ,										
		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,		
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PRAI		1-340	682P		P		2001	1214	<-	-	002-	3201	15		21	0021.	216 <
	WO 200	2-US4					2002										

- L5 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Human G protein-coupled receptor kinase gene 69087, nuclear protein gene 15821, and protein kinase phosphatase gene 15418 and their uses
- AB The invention provides isolated nucleic acids mols, for gene 69087, which encodes a G protein coupled receptor kinase, gene 15821, which encodes a nuclear signaling protein, and gene 15418, which encodes a

mitogen-activated protein kinase phosphatase. The invention also provides antisense nucleic acid mols., recombinant expression vectors containing genes 69087, 15821, or 15418, host cells into which the expression vectors have been introduced, and non-human transgenic animals in which a gene 69087, 15821, or 15418 has been introduced or disrupted. The invention still further provides isolated proteins encoded by genes 69087, 15821, and 15418, fusion proteins, antigenic peptides and antibodies. Diagnostic provides in the company of the invention are also provided Methods for

- further provides isolated proteins encoded by genes 69087, 15821, and 15418, fusion proteins, antigenic peptides and antibodies. Diagnostic methods utilizing compns. of the invention are also provided. Methods for modulating activity, expression, and cellular responses to these genes are claimed. In addition, the invention claims use of these genes in drug screening and therapy.
- AN 2002:674778 HCAPLUS <<LOGINID::20081124>>
- DN 137:212032
- TI Human G protein-coupled receptor kinase gene 69087, nuclear protein gene 15821, and protein kinase phosphatase gene 15418 and their uses
- IN Kapeller-Libermann, Rosana; Bandaru, Rajasekhar
- PA Millennium Pharmaceuticals, Inc., USA
- SO U.S. Pat. Appl. Publ., 98 pp.
- CODEN: USXXCO
- DT Patent
- LA English
- LA Englis FAN.CNT 1

E 2114	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20020123464	A1	20020905	US 2001-44205	20011022 <
	US 6984502	B2	20060110		

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WO 2002095032
                       A2 20021128 WO 2001-US51623 20011022 <--
    WO 2002095032
                        A3 20040115
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
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        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
            KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
            GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2001297794 A1 20021203
                                         AU 2001-297794
                                                               20011022 <--
PRAI US 2000-241884P
                       P
                             20001019 <--
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                       P
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THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 38 ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 5 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- Vaccines comprising hydrophobic liquid carrier, liposome, antigen and adiuvant
- AB The present invention is concerned with vaccines and their preparation. An effective long-term immune response, especially in mammals, can be produced using a vaccine comprising an antigen encapsulated in liposomes, a suitable adjuvant and a carrier comprising a continuous phase of a hydrophobic substance. The vaccine is particularly effective in eliciting the production of antibodies that recognize epitopes of native proteins. antigen is viral, bacterial, protozoal or mammalian antigen such as zona pellucida, alc. dehydrogenase, hepatitis B or streptokinase; the liposome comprises unesterified cholesterol and a phospholipid selected from phosphoglycerol, phosphoethanolamine, phosphoserine, phosphocholine and phosphoinositol; the hydrophobic liquid carrier is an oil (mineral oil, vegetable oil or nut oil) or water-in-oil emulsion; and the adjuvant is alum or aluminum compound or TiterMax. A long-term immunocontraceptive for mammal comprising zona pellucida is disclosed.
- AN 2002:368338 HCAPLUS <<LOGINID::20081124>>
- DN 136:368452
- ΤI Vaccines comprising hydrophobic liquid carrier, liposome, antigen and
- IN Brown, Robert George; Pohajdak, William; Kimmins, Warwick Charles
- PA Immunovaccine Technologies Inc., Can.
- SO PCT Int. Appl., 66 pp. CODEN: PIXXD2
- DT Patent
- LA English

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	PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
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PI	WO	2002	0381	75		A1		2002	0516		WO 2	001-	CA15	30		2	0011	031 <
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			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
	CA	2428	103			A1		2002	0516		CA 2	001-	2428	103		2	0011	031 <

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EP	1333858 B1	20060208	
	R: AT, BE, CH, DE,	DK, ES, FR, GB, GR, IT, LI, LU,	NL, SE, MC, PT,
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PRAI US	2000-246075P P	20001107 <	
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THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 8 ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 6 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- Composition and method for the repair and regeneration of cartilage and other tissues based on a polymer gel
- AB The present invention relates to a new method for repairing human or animal tissues such as cartilage, meniscus, ligament, tendon, bone, skin, cornea, periodontal tissues, abscesses, resected tumors, and ulcers. The method comprises the step of introducing into the tissue a temperature-dependent

polymer gel composition such that the composition adhere to the tissue and promote

support for cell proliferation for repairing the tissue. Other than a polymer, the composition preferably comprises a blood component such as whole blood, processed blood, venous blood, arterial blood, blood from bone, blood from bone-marrow, bone marrow, umbilical cord blood, placenta blood, erythrocytes, leukocytes, monocytes, platelets, fibrinogen, thrombin and platelet rich plasma. The present invention also relates to a new composition to be used with the method of the present invention. For example, chondral defects with perforations to the subchrondal bone of rabbits were treated with a peripheral blood/chitosan-qlyceryl phosphate mixture that was delivered as a liquid, and allowed to solidify in situ. After 5-8 wk healing, the blood/chitosan-treated defects were filled with repair tissue having the appearance of hyaline, a glycosaminoglycan (GAG)-rich cartilage repair tissue, which adhered to the defect surfaces, and filled the defects. Repair tissue from the untreated defects (control) had the appearance of fibro-cartilage, with particularly no metachromatic staining for GAG, and only partial defect filling.

2002:10323 HCAPLUS <<LOGINID::20081124>> AN

- 136:74708 DN
- ΤI Composition and method for the repair and regeneration of cartilage and other tissues based on a polymer gel
- IN Hoemann, Caroline D.; Buschmann, Michael D.; Mckee, Marc D.
- PA Biosyntech Canada Inc., Can.
- SO PCT Int. Appl., 106 pp.

CODEN: PIXXD2

- Patent
- English
- FAN CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000272	A2	20020103	WO 2001-CA959	20010629 <
	WO 2002000272	A3	20020808		

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                 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
                 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
                 UZ, VN, YU, ZA, ZW
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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                 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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      US 20020082220
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                                        20020627
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                                        20030326
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              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     AU 2001268882 B2 20060105 AT 2001-23763
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MX 2003PA00203 A 20040913 MX 2003-PA202
IN 2003M00077 A 20040814
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      JP 2004501682
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A1 20060526
20060209
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      HK 1055563
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      M1 20060526

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PRAI US 2000-214717P P 20000629
US 2001-896912 B1 20010629
                                                       US 2006-584870
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                                       20000629 <---
                               B1 20010629 <--
      WO 2001-CA959
                                W
                                       20010629 <--
      US 2005-31325
                                A1
                                       20050107
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- L5 ANSWER 7 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI The antianxiety-like effects of antagonists of group I and agonists of group II and III metabotropic glutamate receptors after intrahippocampal administration
- AB Rationale: Substances acting as agonists of group II mGlu receptors with joint group I mGlu receptor antagonist effects, or group II mGlu receptors agonists, were shown to induce antianxiety-like effect in rats after intrahippocampal administration. Objective: The present study was undertaken to establish whether a more selective group I, II, III mGlu receptors agonists/antagonists induce anxiolytic-like effects after injection to the hippocampus. Methods: (S)-4-Carboxyphenylqlycine [(S)-4CPG] and 7-(hydroxyimino)cyclopropan[b]chromen-lα-carboxylic Et ester (CPCCOEt), selective antagonists at group I mGlu receptors, or (+)1S, 2S, 5R, 6S-2-aminobicyclo[3.1.0]hexane-2,6-dicarboxylic acid (LY354740) and (2S, 1'S, 2'S)-2-(carboxycyclopropyl)glycine (L-CCG-I), two selective agonists of group II mGlu receptors, as well as (1S, 2S, 4S, 5S)-2-aminobicyclo[2.1.1]hexane-2,5-dicarboxylic acid-I (ABHxD-I), an agonist at all three groups of mGlu receptors and L-serine-O-phosphate (L-SOP), an agonist at group III mGlu receptors, were used. All compds. were administered into the CA1 region of the dorsal hippocampus. The conflict drinking Vogel test in rats was used to estimate the anxiolytic-like effects of all the compds. Results: After intrahippocampal administration, both selective group I mGlu receptors antagonists (S)-4CPG and CPCCOEt, as well as the selective agonists of group II mGlu receptors LY 354740 and L-CCG-I, and an agonist of group III mGlu receptors, L-SOP, induced anticonflict effects. Conclusion: Selective antagonists of group I mGlu receptors and agonists of group II and group III mGlu receptors

- exhibit anxiolytic-like activity in the conflict drinking test. It seems that the hippocampus may be one of the brain structures involved in the anticonflict effect of mGlu receptor agonists/antagonists.
- 2001:884587 HCAPLUS <<LOGINID::20081124>> AN
- DN 136:177852
- The antianxiety-like effects of antagonists of group I and agonists of TI group II and III metabotropic glutamate receptors after intrahippocampal administration
- ΑU Tatarczynska, Ewa; Klodzinska, Aleksandra; Kroczka, Bernadetta; Choinacka-Woicik, Ewa; Pilc, Andrzei
- CS Institute of Pharmacology, Polish Academy of Sciences, Smetna, 12, Pol.
- SO Psychopharmacology (Berlin, Germany) (2001), 158(1), 94-99 CODEN: PSCHDL; ISSN: 0033-3158
- PB Springer-Verlag
- DT Journal
- T.A English
- RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- ANSWER 8 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- ΤI Methods for the detection of modified peptides, proteins and other molecules
- A method is described for the mol. anal. of complex samples, including AB biopsies from cancer and other multifactorial diseases. The method uses arrays of proteins and enzymes substrates, including peptides, antibodies, non peptide substrates and phospho-protein and acetyl-protein traps. In an embodiment, tagged substrates are mass reacted in solution with the sample under investigation and then sorted onto a solid surface array by means of the relative tags. In another embodiment the substrates are immobilized onto a solid surface prior to sample anal.
- AN 2001:763492 HCAPLUS <<LOGINID::20081124>>
- DN 135:315574
- ΤI Methods for the detection of modified peptides, proteins and other molecules
- IN Volinia, Stefano
- PA Italv
- SO U.S. Pat. Appl. Publ., 36 pp.
- CODEN: USXXCO DT
- Patent
- LA English
- FAN. CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2001003146	59 A1	20011018	US 2001-753114	20010102 <
PRAT IIS 2000-1741"	71P P	20000103	<	

- ANSWER 9 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN 1.5
- TI Quantitative amino acid analysis using a Beckman system gold HPLC 126AA analyzer
- Background: The Beckman 6300/7300 analyzer, which was widely used for AB amino acid (AA) anal., is no longer com. available. Methods: To set up an affordable AA anal. program, a Beckman system gold HPLC 126AA analyzer and Pickering Labs. reagents were used. Two quant. AA anal. programs were developed. One was an 18-min short program quantitating seven AAs from plasma and dried blood spots (DBS) specimens using Lithium eluents Li-365 and Li-375 at 70° column temperature. The short program could be used for diagnosis and follow-up dietary management for phenylketonuria (PKU), maple syrup urine disease (MSUD), tyrosinemia and homocystinuria patients. The second program was a 118-min long AA screening panel quantitating 40 AAs using Lithium eluents Li-275, Li-365 and Li-375 at 32, 48 and 72° column temps. from plasma and urine specimens. Results: The

values obtained from DBS specimens were in good agreement with certified results from the Centers for Disease Control and Prevention. The values obtained from plasma and urine samples were in good correlation with those obtained from Beckman 6300 analyzer (0.9076≤r≤0.999).

Conclusions: Amino acid quantitation from physiol. samples using a Beckman 126AA Analyzer and Pickering Labs. reagents was useful for clin. diagnosis and monitoring of aminoacidopathies.

AN 2001:721220 HCAPLUS <<LOGINID::20081124>>

DN 136:2398

TI Quantitative amino acid analysis using a Beckman system gold HPLC 126AA analyzer

ΑU Qu, Y.; Slocum, R. H.; Fu, J.; Rasmussen, W. E.; Rector, H. D.; Miller, J. B.; Coldwell, J. G.

CS H.A. Chapman Institute of Medical Genetics, Tulsa, OK, 74135, USA SO Clinica Chimica Acta (2001), 312(1-2), 153-162

CODEN: CCATAR; ISSN: 0009-8981

PR Elsevier Science Ltd.

Journal DT LA

English

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

TΙ Elevated levels of group-III metabotropic glutamate receptors in the inferior colliculus of genetically epilepsy-prone rats following intracollicular administration of L-serine-O-phosphate

The selective group-III metabotropic glutamate receptor agonist, AB L-serine-O-phosphate (L-SOP), when injected bilaterally into the inferior colliculus of the sound sensitive genetically epilepsy-prone (GEP) rats produces a short proconvulsant excitation followed by a long phase of protection against sound-induced seizures lasting for 2-4 days. We have studied this prolonged suppression of audiogenic seizures using pharmacol. and mol. biol. approaches including semiguant. RT-PCR and western blotting. The intracerebroventricular injection of the protein synthesis inhibitor cycloheximide (120 µg) 30 min beforehand significantly reduces the proconvulsant seizure activity and the prolonged anticonvulsant effect of intracollicular L-SOP (500 nmol/side). The sensitive semiquant. RT-PCR revealed a significant up-regulation in mGlu4 and mGlu7 mRNA levels in the inferior colliculus at 2 days (maximum suppression of audiogenic seizures) after intracollicular L-SOP injection compared with the non-injected, 2-day post-vehicle treated and 7-day (return to expressing audiogenic seizures) post-drug or vehicle-treated groups. No significant changes were observed in mGlu6 or mGlu8 mRNA expression levels in drug-treated compared with control groups. Examination of mGlu4a and mGlu7a protein levels using western blotting showed a significant increase in mGlu7a but no significant change in mGlu4a protein levels 2 days after L-SOP treatment compared with the control groups (non-injected and 2-day vehicle-injected group). These results suggest that up-regulation of mGlu7 receptors is involved in the prolonged anticonvulsant effect of L-SOP against sound-induced seizures in GEP rats. The potential use of mGlu7 agonists as novel anti-epileptic agents merits investigation. 2001:508586 HCAPLUS <<LOGINID::20081124>>

AN

DN 135:298653

Elevated levels of group-III metabotropic glutamate receptors in the inferior colliculus of genetically epilepsy-prone rats following intracollicular administration of L-serine-O-phosphate

ΑU Yip, Ping K.; Meldrum, Brian S.; Rattray, Marcus

CS Department of Neurology, Institute of Psychiatry, King's College London, London, SE1 1UL, UK

SO Journal of Neurochemistry (2001), 78(1), 13-23 CODEN: JONRA9; ISSN: 0022-3042

- PB Blackwell Science Ltd.
- DT Journal
- LA. English

RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 11 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI In situ crosslinking of proteins for wound sealant
- AB This invention relates to materials and methods for in situ crosslinking of proteins, including collagen, with peroxidase, including horseradish peroxidase, and H2O2 to form biocompatible semi-solid gels useful in a number of biol. and food product applications. The mixture applied to the wound sealing further comprises at least one addnl. agent selected from the group consisting of proteins, vaccine antigens, adjuvants, growth factors, microbeads and drugs, such as antimicrobials. The protein addnl. agent is selected from the group consisting of bovine serum albumin, fibrinogen, fibronectin, fibroblast growth factor, and human placental hyaluronic acid. A method of forming a semisolid crosslinked polymer on the surface of meat or poultry tissues for use as a food binding/restructuring agent comprises the steps of crosslinking a protein with a peroxidase in the presence of peroxide. Also, a method for growing dermal fibroblasts in vitro comprises the steps of growing the fibroblasts in a peroxide crosslinked collagen polymer.
- AN 2001:380339 HCAPLUS <<LOGINID::20081124>>
- DN 134:371845
- тт In situ crosslinking of proteins for wound sealant
- Miller, Douglas R.; Tizard, Ian R.; Keeton, Jimmy T.; Prochaska, Jerry F. IN
- PA The Texas A & M University System, USA
- SO PCT Int. Appl., 61 pp.
- CODEN: PIXXD2 DT Patent
- LA English

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		W:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
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Ţ	JS	6509	031			B1		2003	0121	1	US 20	000-	7132	70		20	0001	115 <
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Ţ	JS	1999	-1660	024P		P		1999	1117	<	-							
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- ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 12 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TT Compounds for inhibiting diseases and preparing cells for transplantation
- Methods and compns. are provided for inhibiting, preventing and treating amyloid depositions, e.g. in pancreatic islets, wherein the amyloidotic deposits are islet amyloid polypeptide (IAPP)-associated amyloid deposition

or deposits. Accordingly, the compns. and method of the invention are useful for inhibiting IAPP-associated amyloidosis in disorders in which such amyloid deposition occurs, such an diabetes. The invention also provides a process for the preparation of cells suitable for transplantation into a mammal, which cells are capable of forming fibrils, said process comprising contacting the cells with an inhibitor of fibril formation. Also provided are a culture medium comprising the inhibitor and cells for transplantation. One example compound prepared was 4-phenyl-1-(3-sulfopropyl)-1,2,3,6-tetrahydropyridine and its sodium salt. 2001:50467 HCAPLUS <.OGNINI:20081124>.

AN 2001:5046 DN 134:95503

DN 19479909 TI Compounds for inhibiting diseases and preparing cells for transplantation IN Clark, Anne; Fraser, Paul; Verchere, Bruce; Gupta, Ajay; Migneault, David; Szarek, Walter; Weaver, Donald

PA Isis Innovation Limited, UK; Neurochem, Inc.

SO PCT Int. Appl., 62 pp. CODEN: PIXXD2

DT Patent

LA English FAN.CNT 1

		PATENT NO.					D	DATE										
PI	WO		0036	80										23			0000	707 <
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	EP																	707 <
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PRAI	GB US GB US WO	2007 1999 1999 1999 1999 2000 2002	0015 -162 -142 -163 -142 -GB2	737 14 907P 15 953P 623		A1 A P A P W		2007 1999 1999 1999 1999 2000	0709 0709 0712 0712	<- <- <- <-	US 2	005-	2655	37		2	0051	102 <
OS	MAI	RPAT :	134:	9550	3													

- L5 ANSWER 13 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Compositions and methods for treating amyloidosis
- Il Compositions and methods for treating amyloidosis and subject, whatever its clin. setting, are described. Amyloid aggregation in a subject, whatever its clin. setting, are described. Amyloid aggregation is modulated by the administration to a subject of an effective amount of a therapeutic compound [(RIZM)(RZQm)N]pTYs [RI, R2 = H, (un)substituted alkyl, (un)substituted arryl; Z, O = C(O). C(S), SOZ. SO; K, m = 0, 1, with provisions; p, s = pos. integer such that biodistribution of therapeutic compound for intended target site is not prevented while maintaining activity of therapeutic compound; T = linking group; Y = AX; A = anionic group at physiol. pH; X = cationic groupl, or a pharmaceutically acceptable salt or ester, such that modulation of amyloid aggregation occurs. Preparation of e.g. 8-methoxy-5-quinolinesulfonic acid sodium salt is described.

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DN 133:329624
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- TI Compositions and methods for treating amyloidosis
- IN Gordon, Heather; Szarek, Walter; Weaver, Donald; Kong, Xianqi
- PA Queen's University at Kingston, Can.; Neurochem, Inc.
- SO PCT Int. Appl., 68 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 2

	PATENT NO.							DATE				LICAT					ATE		
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		RW:	GH, DK,	GM, ES, CI,	KE, FI, CM,	LS, FR, GA,	MW, GB, GN,	SD, GR, GW,	SL, IE, ML,	SZ, IT, MR,	TZ LU NE	, UG, , MC, , SN,	ZW, NL, TD,	AT, PT, TG	BE, SE,	CH, BF,	CY, BJ,	DE, CF,	
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		2007									KK .	2007- 2008-	1211	12		2	00/0	914	·
DDAT		2008										2006-	1236	42		2	0080	222	<
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		2001						2001											
		2003						2003											
OS		RPAT				AJ		2005	0002										

- L5 ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Phosphocholine surfactants and their use
- AB Disclosed are detergents or surfactants based on amphipathic phosphocholine compds. to improve pharmaceutical formulations and their use as pharmaceutical excipients.
- AN 2000:553420 HCAPLUS <<LOGINID::20081124>>
- DN 133:155464
- TI Phosphocholine surfactants and their use
- IN Morimoto, Bruce H.; Barker, Peter L.; Hernandez, Vincent; Piper, Cass K.
- PA Amur Pharmaceuticals, Inc., USA
- SO PCT Int. Appl., 25 pp. CODEN: PIXXD2
- DT Patent
- LA English

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FAN.CNT 1
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                      A1 20000810 WO 2000-US2395 20000128 <--
    WO 2000045822
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            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
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PRAI US 1999-118499P
    WO 2000-US2395
    MARPAT 133:155464
RE.CNT 5
            THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

- ANSWER 15 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- Associates of macromolecules and complex aggregates for improved payload ΤI and controlled drug delivery
- AB This invention describes the principles and procedures suitable for developing, testing, manufacturing, and using combinations of various amphipathic, if necessary modified, macromols. (such as polypeptides, proteins, etc.) or other chain mols. (such as suitable, e.g. partly hydrophobic, polynucleotides or polysaccharides) with the aggregates which comprise a mixture of polar and/or charged amphipathic mols. and form extended surfaces that can be freely suspended or supported. The methods can be utilized for the optimization of aggregates that, after association with chain mols. exerting some activity or a useful function, are suitable for the application in vitro or in vivo, e.g., in the fields of drug delivery, diagnostics or biocatalysis. As special examples, mixts. of vesicular droplets consisting of lipids loaded (associated) with insulin, interferon, interleukin, nerve growth factor, calcitonin, and an Iq, etc., are described. Thus, ultradeformable and flexible vesicles (Transfersomes) were prepared from soybean phosphatidylcholine 874.4 and sodium cholate 125.6 mg, and pH 7.1 9 mL phosphate buffer. To this suspension (5% total lipid content) was added 0.1, 0.5, 1, 2, 3, or 4 mg/insulin/100 mg total lipid.

2000:290817 HCAPLUS <<LOGINID::20081124>> AN

- DN 132:326059
- TI Associates of macromolecules and complex aggregates for improved pavload and controlled drug delivery
- IN Cevc, Gregor
- PA Idea Innovative Dermale Applikationen Gmbh, Germany
- SO PCT Int. Appl., 88 pp.
- CODEN: PIXXD2
- DT Patent
- LA English FAN ON

'AN.(JNT	1																	
	PA:	TENT I	. OV			KINI)	DATE		1	APPL:	ICAT:	ION	NO.		Di	ATE		
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                              20000515 AU 1999-14350
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                         B2
                              20030918
                        A1 20001004 EP 1998-958234
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     HU 2001002741
                       A3 20021228
T 20020903
     JP 2002528406
                              20020903
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                                                                 19981023 <--
    RII 2211027
                       C2 20030827 RU 2000-119757
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A
A1
A1
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                              20000823 NO 2000-3287
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                              20030721 MX 2000-PA6196
     MX 2000PA06196
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                                          HK 2001-103359
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                                         US 2007-929480
     US 20080279815
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                                                                 20071030 <--
PRAI WO 1998-EP6750
US 2000-555986
                        A
                              19981023 <--
                        В3
                              20000817 <--
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RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 16 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Methods and compositions to treat glycosaminoglycan-associated molecular interactions
- AB Therapeutic compds. and methods for inhibiting a glycosaminoglycan (GAG)-associated mol. interaction in a subject, whatever its clin. setting, are described. The glycosaminoglycan-associated mol. interaction may be e.g. the interaction associated with a bacterial or viral infection. The compds. of the invention include Q(Y-X+)n (Q = carrier mol.; Y- = anionic group at physiol. pH; X+ = cationic group; n = integer such that the

biodistribution of the therapeutic compound for an intended target site is not prevented while maintaining activity of the therapeutic compound) and pharmaceutically acceptable salts and esters thereof.

- AN 2000:98288 HCAPLUS <<LOGINID::20081124>>
- DN 132:132322
- TI Methods and compositions to treat glycosaminoglycan-associated molecular interactions
- IN Kisilevsky, Robert; Green, Allan M.; Gervais, Francine
- PA Neurochem, Inc., Can.; Queen's University at Kingston
- SO PCT Int. Appl., 108 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO.	DATE
PI WO 2000006133 A2 20000210 WO 1999-IB1473	19990728 <
WO 2000006133 A3 20000817	
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN	N, CU, CZ,
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL	L, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD	ID, MG, MK,
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK	K, SL, TJ,
TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW	
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY	Y, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ	J, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	

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US 6310073 B1 20011030 US 1999-362505 CA 2338705 A1 20000210 CA 1999-2338705 AU 9951894 A 20000221 AU 1999-51894 EP 1100487 A2 20010523 EP 1999-936391
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                                                                                                                                      19990728 <--
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           EP 1609467
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           US 20020193395 A1 20021219 US 2001-970148
                                                                                                                                       20011002 <--
US 20040096453 A1 20040520 US 2003-690020 A1 2004020703 A1 20040715 AU 2004-202703 US 20060016347 A1 20060601 US 2005-147150 US 2005-147150 US 20070078082 A1 20070078082 A
                                                                                                                                       20031020 <--
                                                                                                                                       20040618 <--
                                                                                                                                       20050606 <--
                                                                                                                                      20060919 <--
          MARPAT 132:132322
 OS
          ANSWER 17 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
           Biocompatible composite material
 TT
 AB
           Biocompatible composites useful as a bone or tooth substitute material or
           for coating implants of metal, ceramic, Si, or plastics comprise an inorg.
           gel containing homogeneously embedded scleroproteins or their hydrolysis
           products and/or glycosaminoglycans. These composites promote the
           deposition of basic Ca phosphate phases and are hard, strong, and wear
          resistant. Thus, Si(OEt)4 10, 1,4-dioxane 40, and 0.01M HCl 20 mL were
          stirred for 20 h at room temperature to form a stable SiO2 soluble This sol 7
 was
          mixed with H2O 7, 10% aqueous ZrO2 sol 2.3 mL, and 1% collagen type I solution
 10
           g to provide a clear sol which was used for dip coating a Ti test piece.
           After drying, the coating had a Vickers hardness of 44. On immersion in
          simulated blood, the coated Ti induced deposition of basic Ca phosphate
          within 12 h.
 AN
       1999:624672 HCAPLUS <<LOGINID::20081124>>
 DN 131:233590
 TI Biocompatible composite material
 IN Brasack, Ingo; Boettcher, Horst; Kallies, Karl-Heinz
 PA Feinchemie G.m.b.H. Sebnitz, Germany; Kallies Feinchemie AG
 SO Ger. Offen., 6 pp.
          CODEN: GWXXBX
 DT Patent
       German
 LA
 FAN.CNT 1
          PATENT NO. KIND DATE APPLICATION NO. DATE
PI DE 19811900 A1 19990923 DE 1998-19811900 DE 19811900 C2 20031211 PRAI DE 1998-19811900 19980318 <--
                                                                                                                                      19980318 <--
                           THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
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- L5 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Sphingolipid derivatives, their preparation, and their therapeutic use

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Derivs. of sphingolipids (Markush included) are provided. The compds. are

useful in the treatment of abnormal cell proliferation, including benign and malignant tumors, the promotion of cell differentiation, the induction of apoptosis, the inhibition of protein kinase C, and the treatment of inflammatory conditions, psoriasis, inflammatory bowel disease as well as proliferation of smooth muscle cells in the course of development of plaques in vascular tissue. The invention also includes a method for triggering the release of cytochrome c from mitochondria that includes administering an effective amount of a sphingolipid or its derivative or

prodrug

to a host in need thereof. Further, the invention provides a method for treating bacterial infections, including those that influence colon cancer and other disorders of the intestine, that includes administering an effective amount of one of the active compds. identified herein. 1999:529160 HCAPLUS <<LOGINID::20081124>>

AN

DN 131:165335

ΤТ Sphingolipid derivatives, their preparation, and their therapeutic use IN Liotta, Dennis C.; Merrill, Alfred H., Jr.; Keane, Thomas E.; Schmelz, Eva M.; Bhalla, Kapil N.

PA Emory University, USA

SO PCT Int. Appl., 140 pp. CODEN: PIXXD2

Patent

LA English

FAN.	CNT	1																
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								IL,										
								MK, TM,							ĸ,	RU,	SD,	
		RW:						DK,							LU,	MC.	NL.	
								CG,										
	CA	2320	117			A1		1999	0819		CA 1	999-	2320	117	1	9990	212	<
	AU	9927	644			A		1999	0830		AU 1	999-	2764	4	1	9990	212	<
	AU	7658	09			B2		2003	1002									
	EP	1053	243			A1		2000	1122		EP 1	999-	9081	43	1	9990:	212	<
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		2004						2004	0226		JS 2	003-	6478	01	2	0030	825	<
PRAI		1998						1998										
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		1999						1999										
	WO	1999	-US3	093		W		1999	0212	<-	-							

OS MARPAT 131:165335

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN L5

ΤI Liquid compositions for disinfection of contact lenses based on Polyquaternium compounds

A liquid composition for cleaning, storing and disinfecting contact lenses contains (1) at least one disinfecting component selected from the group of Polyquaternium-6, Polyquaternium-7, Polyquaternium-16, and Polyquaternium-22, (2) a nonionic tonicity agent and/or (3) an amino acid. An aqueous solution containing phosphate buffer, Polyquaternium-6 (0.001%), and glycerol (1.7%) was prepared and showed a high degree of safety without inhibiting the proliferation of the cells.

1999:401532 HCAPLUS <<LOGINID::20081124>> AN

DN 131:35917

- TI Liquid compositions for disinfection of contact lenses based on Polyquaternium compounds
- IN Ibaraki, Keiko; Mizuno, Hideto; Goshima, Takehiko; Shimbo, Keiko
- PA Tomey Corp., Japan
- SO Eur. Pat. Appl., 17 pp. CODEN: EPXXDW
- OT Patent
- LA English
- FAN.CNT 1

	PA'	TENT	NO.			KIN)	DATE		API	PLICAT	ION I	NO.		DA	TE		
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	EP	9239	50			A3		2000	1227									
		R:							FR,	GB, G	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			IE,	SI,	LT,	LV,	FI,	RO										
	JP	1124	9087			A		1999	0917	JP	1998-	3101	75		19	9810	030	<
PRAI	JP	1997	-349	273		A		1997	1218	<								
	JP	1998	-310	175		A		1998	1030	<								

- L5 ANSWER 20 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Biomimetic calcium phosphate implant coatings and methods for making the same
- AB This invention encompasses porous, nanocryst, biomimetic Ca phosphate coatings of the order of 2-30 µm that can be grown on metal implants. The chemical surface treatments and methods for making the Ca phosphate coatings are disclosed. Post treatment with dilute hydrogels such as poly(hydroxyethyl methacrylate), reinforces the inorg, structure and enhances the mech. strength of the coatings. Methods are also disclosed for adsorbing or covalently attaching growth factor proteins to the hydrogel-coated Ca phosphate coatings. Such hydrogel-reinforced Ca phosphate coatings show equivalent bone tissue growth as the currently used implants and are easily resorbed. This property in combination with the immobilized growth factors is expected to enhance the process of osteointegration of the disclosed coatings.
- AN 1999:184098 HCAPLUS <<LOGINID::20081124>>
- DN 130:227783
- TI Biomimetic calcium phosphate implant coatings and methods for making the
- IN Sarangapani, Shantha; Calvert, Paul D.
- PA Icet, Inc., USA
- SO PCT Int. Appl., 44 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PAT	ENT :	NO.			KIN	D	DATE		I	APPL	ICAT	ION I	NO.		D	ATE		
							-			-									
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		W:	CA,	JP															
		RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	
			PT,	SE															
	US	6129	928			A		2000	1010	Ţ	JS 1	998-	1487	24		19	9980	904	<
PRAI	US	1997	-5810)5P		P		1997	0905	<	-								
RE.CI	NT	8	THE	ERE	ARE	8 CI.	TED	REFE	RENC	ES AV	ZAIL	ABLE	FOR	THIS	REC	CORD			

- L5 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Oral drug delivery compositions comprising modified amino acids and bioactive peptides

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The present invention relates to an oral drug delivery system, and in particular to modified amino acid derivs. for use as a delivery system for

sensitive agents such as bioactive peptides. The modified amino acid derivs. can form noncovalent mixts. with active biol. agents and in an alternate embodiment can carry and release active agents. These mixts. are suitable for oral administration of biol. active agents to mammals. Methods for the preparation of such amino acids are also disclosed.

AN 1998:542693 HCAPLUS <<LOGINID::20081124>>

DN 129:180125

OREF 129:36501a,36504a

Oral drug delivery compositions comprising modified amino acids and bioactive peptides

IN Sarubbi, Donald J.; Leone-Bay, Andrea; Paton, Duncan R.

PA Emisphere Technologies, Inc., USA

SO U.S., 18 pp. CODEN: USXXAM

DT Patent

LA FAN.	Eng	glish																
	PAI	ENT NO.			KIN		DATE		1	APP	LICAT	ІОИ	мо.		D2	ATE		
PI	US CA WO	5792451 2160693 9423767			A A1 A1		1998 1994 1994	0811 1027 1027	1	US CA WO	1994- 1994- 1994-	2055 2160 US45	11 693 60		19 19	9403 9404 9404	302 122 122	< <
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	EP	1077070 1077070			A3		2001	0523			2000	1100	05		1.	,,,,,,,		`
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	ES	2244367			Т3		2005	1216	1	ES	2000-	1035	27		19	99404	122	<
	US	5643957			A		1997	0701	1	US	1994-	3351	48		19	99410	25	<
	US	5714167			A		1998	0203	1	US	1994-	3289	32		19	99410	25	<
	US	5958457			A		1999	0928	1	US	1995-	4386	44		19	9505	510	<
	US	5766633			A		1998	0616	1	US	1995-	5378	88		19	9510	23	<
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US 2002-255237 A1 20020925 <		US	2002-255237	A1	20020925	<			

RE.CNT 341 THERE ARE 341 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 22 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- ΤI Inhibiting undesirable taste in oral compositions
- AB The present invention relates to a method for inhibiting an undesirable taste in oral compns. such as foods, beverages, and pharmaceuticals. The present invention also relates to oral and pharmaceutical compns. comprising undesirable tasting compds, wherein undesirable tastes are inhibited by the addition of a phosphorylated amino acid, such as phosphotyrosine, phosphoserine, phosphothreonine, and mixts. thereof, to the oral and pharmaceutical compns. Liquid cough/cold compns. for oral administration contained ibuprofen arginate 1, chlorpheniramine maleate 0.02, pseudoephedrine·HCl 0.3, phosphotyrosine 2, ethanol (95%) 25, propylene glycol 25, Na citrate 2, citric acid 0.25, liquid sugar 25, glycerin 7, colorants 0.009, flavors 0.5, and water to 100 % weight/volume 1998:124044 HCAPLUS <<LOGINID::20081124>> AN

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DN 128:196683
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OREF 128:38793a,38796a

TI Inhibiting undesirable taste in oral compositions

IN Nelson, Sandra Lynn

PA Procter & Gamble Company, USA

SO PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DT Patent

LA English FAN.CNT 1

									APPLICATION NO.									
PI	WO	9806436 9806436			A2		1998	0219								9970		<
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		DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	UA,	UG,	UZ,	
		VN,	YU,	ZW														
		RW: GH,																
			GR,							SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	
		GN,	ML,	MR,	ΝE,	SN,	TD,	TG										
	US	5766622 2263297			A		1998	0616		JS 1	996-	6967	11		1	9960	814 <	<
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	AU	724235			B2		2000	0914					_		_			
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	EP	1077726 1077726			A2					EP 1	997-	9370	72		1	9970	728 <	<
	EP						2003			0.0	- m			***				
		R: AT,	BE,	CH,	DE,	DK,												
	CN	1306440 20015275 20010030	10		A												728 <	
	JP	20015275	18		1		2001	1225		JP I	998-	2016	85		1	9970	728 <	:
	HU	20010030	116		A2		2001			HU Z	001-	3010			1	99/0	728 <	
	2.7	20010030 234115 9707082	10		A.S					ar 1	007	0270	72			0070	728 <	
	73	0707000			7												720 < 808 <	
	TN	1997DE02	206		7												813 <	
	NO	9900685	200		n n		1999	0311		MO 1	997-	685	00		11	9990	212	
	KD	9900685	06		71		2000	0525		KD 1	999-	7012	Q 1		1	aaan	218	
PRAT	IIS	1996-696	711		A		1996	0814	<-	_	,,,	.012	-		1	,,,,,,,,		•
		1997-US1					1997											

- L5 ANSWER 23 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Synthetic phosphopeptides for treating bone diseases
- AB Phosphopeptides which significantly reduce bone loss or weakening are provided. A method for treating or preventing any conditions associated with bone loss or weakening by administering the phosphopeptides by oral or injectable means is also provided. After age 35, bone mass, mineral content and mech strength of the bone begin declining gradually. The relationship between bone mass and age is shown. Examples of prevention of bone loss in an osteoporosis model are given for peptides such as Pse-Gly-Pse-Gly (Pse = O-phosphoserine).

AN 1998:55543 HCAPLUS <<LOGINID::20081124>>

OREF 128:21617a,21620a

TI Synthetic phosphopeptides for treating bone diseases

IN Kumagai, Yoshinari; Otaka, Akira

PA Big Bear Bio, Inc., USA

SO PCT Int. Appl., 45 pp. CODEN: PIXXD2

DN 128:110877

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DT Patent
LA English
FAN.CNT 2
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							APPLICATION NO.												
PI																	9970	530	<
		W:	AM,	AU,	BA,	BG,	BR,	CA,	CN,	CZ,	EE,	FI,	GE,	HU,	IL,	IS,	JP,	KG,	
			KR,	LK,	LT,	LV,	MD,	MK,	MN,	MX,	NO,	NZ,	PL,	SG,	SI,	SK,	TR,	UA,	
			UZ,	VN,	AZ,	BY,	KZ,	RU,	TJ,	TM									
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE
	US	5837	674			A		1998	1117		US 1	996-	6750	31		1	9960	703	<
	CA	2258	661			A1		1998	0108		CA I	997-	2258	661		1	99706	530	<
	CA	2258	661			С		2002	0910										
	AU	9735	871			A		1998	0121		AU 1	997-	3587	1		1	99706	530	<
	AU	7276	75			B2		2000	1221										
	EP	9383	26			A1		1999	0901		EP 1	997-	9324	09		1	9970	530	<
	EP	9383	26			B1		2004	0915										
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	NL,	SE,	PT,	IE,	FI	
	JP	2001 2759 9383 2224	5034	52		T		2001	0313		JP 1	.998-	5043	99		1	9970	530	<
	AT	2759	61			т		2004	1015		AT 1	997-	9324	09		1	9970	530	<
	PT	9383	26			т		2004	1130		PT 1	997-	9324	09		1	9970	530	<
	ES	2224	260			Т3		2005	0301		ES 1	997-	9324	09		1	9970	530	<
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		1998						1997											
	WO	1997	-US1	1426		W		1997	0630	<-	_								
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L5 ANSWER 24 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

KIND DAME

TI Prolonged anticonvulsant action of glutamate metabotropic receptor

agonists in inferior colliculus of genetically epilepsy-prone rats AB The anticonvulsant activity of (S)-4-carboxy-3-hydroxyphenylglycine

((S)-4C3HPG) (an antagonist of Group I and an agonist of Group II metabotropic glutamate (mGlu) receptors), of (1S,3S)-1-aminocyclopentane-1,3-dicarboxylic acid ((1S,3S)-ACPD) (an agonist of Group II mGlu receptors), and of L-serine-O-phosphate (an agonist of Group III mGlu receptors) was studied against sound-induced seizures in genetically epilepsy-prone (GEP) rats following bilateral microinjection into the inferior colliculus. All 3 drugs produce dose-dependent suppression of all phases of sound-induced seizures (wild running, clonic and tonic). (S)-4C3HPG produces an immediate and short-lasting (<2 h) protection against sound-induced seizures with an ED50 value of 4.3 (3.2-5.7) nmol, at 5 min. The preferential agonists of Group II and Group III mGlu receptors produce an immediate, transient (<10 min) proconvulsant effect followed by a prolonged (>1 day) anticonvulsant effect against sound-induced seizures. The anticonvulsant ED50 value for (1S,3S)-ACPD is 9 (5-18) nmol at 2 h, and for L-serine-O-phosphate is 36 (6.5-199) nmol at 2 days. It is concluded that mGlu receptor activation potently modifies seizure threshold.

AN 1997:331452 HCAPLUS <<LOGINID::20081124>>

DN 127:44805

OREF 127:8387a,8390a

TI Prolonged anticonvulsant action of glutamate metabotropic receptor

agonists in inferior colliculus of genetically epilepsy-prone rats AU Tang, Ellen; Yip, Ping K.; Chapman, Astrid G.; Jane, David E.; Meldrum, Brian S.

CS Department of Clinical Neurosciences, Institute of Psychiatry, De Crespigny Park, Denmark Hill, London, SE58AF, UK

SO European Journal of Pharmacology (1997), 327(2/3), 109-115 CODEN: EJPHAZ; ISSN: 0014-2999 PB Elsevier DT Journal T.A English

THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 32

- ALL CITATIONS AVAILABLE IN THE RE FORMAT
- ANSWER 25 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- Effect of sterical stabilization on macrophage uptake in vitro and on thickness of the fixed aqueous laver of liposomes made from alkylphosphocholines
- A serious problem using liposomes for therapeutic purposes is the fast removal from blood circulation by components of the reticuloendothelial system (RES) most likely after opsonization of the vesicles. This study was performed to quantify the reduction in macrophage uptake in vitro of sterically stabilized liposomes (PEG-liposomes) prepared from hexadecylphosphocholine, cholesterol and poly(ethylene glycol2000) distearcylphosphoethanolamine (PEG2000DSPE) for the first time. The uptake was determined using HPC-liposomes of different defined size (125, 250 and 1000 nm) without and with sterical stabilization by incorporating 5 mol of PEG2000DSPE. HPTS was used as fluorescence marker allowing the discrimination between general uptake and the part of liposomes internalized into the low pH-compartment (Daleke, L.D., Hong, K. and Papahadiopoulos, D. (1990) Biochim, Biophys, Acta 1024, 352-366). Liposomal uptake by J774 mouse macrophage-like cells was time-dependent. Both the uptake and internalization were clearly reduced for PEG-liposomes compared to plain liposomes. Sterical stabilization reduced the general uptake of liposomes in vitro by more than 50 and the internalization by about 50-60. PEG-liposomes addnl. showed a delay in internalization into the macrophages during the first 6 h. Size of used liposomes had only a minor influence on liposomal uptake but highest concentration of lipid was

found

for large multilamellar vesicles (MLV). The fixed aqueous layer thickness (FALT) was determined by zeta potential measurements of plain and sterically stabilized HPC-liposomes (100 nm) in solns. of different ion concns. The calcn. of the thickness was based on the linear correlation between ln ζ (zeta-potential) and .vkappa. (Debye Heuckel-Parameter). FALT was calculated and found to be for plain HPC-liposomes 0.83±0.17 nm and for PEG-HPC-liposomes 3.57±0.17 nm. Exchange of the HPC by an alkylphospholipid with different head group has no or only minor effect (PEG-OPP-liposomes 3.44±0.31 nm). Thus the reduced uptake of HPC-LUVET correlates with an increased thickness of the fixed aqueous layer around these liposomes and could support the hypothesis that the thickness is an important property responsible for preventing opsonization and resulting finally in a reduced macrophage uptake.

AN 1996:692603 HCAPLUS <<LOGINID::20081124>>

DN 126:50880

OREF 126:9941a,9944a

- TI Effect of sterical stabilization on macrophage uptake in vitro and on thickness of the fixed aqueous layer of liposomes made from alkylphosphocholines
- Zeisig, Reiner; Shimada, Kazuhiko; Hirota, Sadao; Arndt, Dieter CS AG Phospholipids, Max-Delbrueck Center for Molecular Medicine, Robert-Roessle-Str. 10, Berlin, 13122, Germany
- Biochimica et Biophysica Acta, Biomembranes (1996), 1285(2), 237-245 CODEN: BBBMBS: ISSN: 0005-2736

PB Elsevier B.V.

- DT Journal
- LA English
- ANSWER 26 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN 1.5

- TI Activation of group III metabotropic glutamate receptors is neuroprotective in cortical cultures
- AB (RS)-w-Methyl-4-phosphonophenylqlycine (MPPG) and (S)-w-methyl-3-carboxyphenylalanine (M3CPA), two novel preferential antagonists of group III metabotropic glutamate (mGlu) receptors, antagonized the neuroprotective activity of L-2-amino-4-phosphonobutanoate (L-AP4) or L-serine-0-phosphate in mice cultured cortical cells exposed to a toxic pulse of N-methyl-D-aspartate. In contrast, MPPG did not influence the neuroprotective activity of the selective group II mGlu receptors agonist, (2S,1-R,2-R,3-R)-2-(2,3-dicarboxycyclopropyl) glycine (DCG-TV). These results indicate that activation of group III mGlu receptors exerts neuroprotective activity against excitotoxic neuronal death. At least one of the two major group III mGlu receptor subtypes, i.e. mGlu4 receptor, is expressed by cultured cortical neurons, as shown by immunocytochem, anal. with specific polyclonal antibodies.
- AN 1996:526080 HCAPLUS <<LOGINID::20081124>>
- DN 125:213111
- OREF 125:39643a,39646a
- TI Activation of group III metabotropic glutamate receptors is neuroprotective in cortical cultures
- AU Bruno, V.; Copani, A.; Bonanno, L.; Knoepfel, T.; Kuhn, R.; Roberts, P. J.; Nicoletti, F.
- CS Instituto Mediterraneo di Neuroscienze Neuromed', Pozzilli, Italy
- SO European Journal of Pharmacology (1996), 310(1), 61-66 CODEN: EJPHAZ: ISSN: 0014-2999
- PB Elsevier
- DT Journal
- LA English
- L5 ANSWER 27 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Diketopiperazine-based drug delivery systems
- AB Compns. useful in the delivery of active agents are provided. These delivery compns. include: (a) an active agent; and either (b)(1) a carrier of (i) at least one amino acid and (ii) at least one diketopiperazine or (b)(2) at least one mono-N-substituted, di-N-substituted, or unsubstituted diketopiperazine. Methods for preparing and administering the compns. are also provided. Thus, 6 fasted rats were anesthetized. The rats were administered, by oral gavage, a calcitonin/M-lhe-(diketo-L-Asp)-L-Phe composition containing 1.5 µg of calcitonin/mL. Each rat was administered a dosage of 10 µg/kg. The amount of diketopiperazine in the dosage was 300 mg/kg. Blood samples were collected serially from the caudal artery, and serun calcium was determined The carriers of the present invention facilitated the reduction of serum calcitonin and, therefore, the oral delivery of calcitonin.
- AN 1996:401663 HCAPLUS <<LOGINID::20081124>>
- DN 125:67698
- OREF 125:12779a,12782a
- TI Diketopiperazine-based drug delivery systems
- IN Milstein, Sam J.
- PA Emisphere Technologies, Inc., USA
- SO PCT Int. Appl., 51 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 30

	PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE		
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PI	WO	9609	813			A1		1996	0404		WO 1	995-1	JS12	888		1	9950	928 <	
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			MG,	MK,	MN,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,	

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                                                               19950928 <--
    US 5976569
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    AU 771024
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                             20040311 AU 2000-72261
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    AU 1998-62756
                        A.3
                             19980206 <--
    AU 2000-72260
                        A3 20001214 <--
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- L5 ANSWER 28 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Antitumor liposomes containing phospholipid analogs and ether lipids
- AB Tumor-inhibiting liposomes contain an O-alkylphosphocholine,

C-alkylphosphoserine, or O-alkylphosphoethanolamine or an ether lipid RCGH2CHKCH2OR1 [R = C12-22 alkyl, alkenyl, or alkynyl; X = halo, MeO; R1 = (modified) phosphocholine] together with an ethoxylated lipid, e.g. phosphatidylethanolamine, and cholesterol. Thus, unilamellar vesicles containing hexadecylphosphocholine and N-ethoxylated

- 1,2-dipalmitoyl-sn-glycero-3-phosphoethanolamine (mol. weight .apprx.2700) inhibited growth of human MaTu breast carcinoma implanted into nude mice.
- AN 1995:958375 HCAPLUS <<LOGINID::20081124>>
- DN 123:329997
- OREF 123:58925a,58928a
- TI Antitumor liposomes containing phospholipid analogs and ether lipids
- IN Arndt, Dieter; Zeisig, Reiner; Fichtner, Iduna
- PA Max-Delbrueck-Centrum fuer Molekulare Medizin, Germany
- SO Ger., 5 pp. CODEN: GWXXAW
- DT Patent
- LA German
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4408011	C1	19951102	DE 1994-4408011	19940310 <
PRAI	DE 1994-4408011		19940310	<	

- L5 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Mycobacterium-derived organic phosphate compounds as activators of Ty δ lymphocytes
- AB Non-peptide water-soluble organic phosphate-containing compds. for use as a human

Tr982 cell activator, comprising at least one acid-labile ester bond of phosphoric acid can be extracted from cultures of Mycobacterium tuberculosis or M. fortuitum fortuitum. The activating properties of said compds. in relation to lymphocytes are lost when they are placed in the presence of an enzymic mixture comprising at least one phosphoric monoester phosphohydrolase and at least one phosphoric diester phosphohydrolase. The invention also concerns a method for the preparation, isolation or characterization of such a compound and compns. and pharmaceutical uses thereof. Organic phosphates of the invention may be able to stimulate immune responses to infections, including tuberculosis and malaria, tumors, leukemia, parasitic infestations, and immunodeficiency diseases including AIDS.

- AN 1995:835675 HCAPLUS <<LOGINID::20081124>>
- DN 123:226030

OREF 123:40367a,40370a

- Mycobacterium-derived organic phosphate compounds as activators of Tyδ lymphocytes
- TN Bonneville, Marc; Constant, Patricia Marie-Claude; Fournie, Jean-Jacaues; Puzo, Germain
- PA Centre National de la Recherche Scientifique, Fr.: Institut National de la Sante et de la Recherche Medicale (INSERM)
- SO PCT Int. Appl., 37 pp. CODEN: PIXXD2
- Patent
- T.A French
- FAN.CNT 1
- PATENT NO. KIND DATE APPLICATION NO. ____ PΤ WO 9520673 A1 19950803 WO 1995-FR92 19950126 <--W: JP, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE FR 2715660 A1 19950804 FR 1994-1170 19940128 <--PRAI FR 1994-1170 A 19940128 <--
- ANSWER 30 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- Modification of implant surface with bioactive conjugates for improved integration into tissue
- AB A bioactive conjugate adapted to coat a metal implant outer surface has the structure RXP (R = 0 or S, adapted to be covalently attached to an implant surface; X = bond, linear or branched chain of 1-30 covalently attached C, N, O, Si, and/or S atoms, ring of ≤20 C, N, O, Si, and/or S atoms, or a combination thereof; P = bioactive mol. which promotes tissue growth, stabilization, and integration, wherein said moiety retains its biol. activity). Thus, a Ti implant was mech. polished, ultrasonically cleaned, electrochem. polished with HC104-BuOH-MeOH (1:12:7), and immersed in a 10-3-10-4M hexane solution of 16-aminohexadecanethiol under N2. The thiol formed a self-assembling monolayer on the metal surface, which was the condensed with glutaraldehyde in 0.1M phosphate buffer under N2, followed by conjugation with alkaline phosphatase.
- AN 1995:412957 HCAPLUS <<LOGINID::20081124>>
- DN 122:170291
- OREF 122:31119a,31122a
- Modification of implant surface with bioactive conjugates for improved integration into tissue
- IN Nanci, Antonio; McKee, Marc D.; Sacher, Edward; Savadogo, Oumarou; Wuest, James
- PA Universite de Montreal, Can.
- SO PCT Int. Appl., 42 pp. CODEN: PIXXD2
- DT Patent

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FAN.	CNT	2																	
	PAT	ENT 1	10.			KINI)	DATE			APPL	ICAT	ION I	NO.		DA	ATE		
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PI	WO	94263	321			A1		1994	1124		WO 1	994-0	CA25	7		19	9940	509	<
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				BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE	
	CA	2162	114			A1		1994	1124		CA 1	994-	2162	114		19	1940.	509	<
	AU	9466	434			A		1994	1212		AU 1	994-	6643	4		19	940.	509	<
	AU	6901	13					1998											
	EP	69789	96			A1		1996	0228		EP 1	994-	9150	05		19	9940.	509	<
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	BR	94066	547			A		1996	0312		BR 1	994-	6647			19	940	509	<
	JP	0851	1696			T		1996	1210		JP 1	994-	5247	63		19	940	509	<

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- L5 ANSWER 31 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Drug preparations of reduced toxicity
- AB The toxicity of drugs, especially antibiotics and antitumor agents, is greatly reduced while retaining their pharmacol. activity by binding to endogenous cellular substrates (ligands) which are generally lipids. High doses of the drug may be administered with less toxic side effects. E.g., egg lysophosphatidylcholine, phosphorylcholine and inositol hexaphosphate were effective in decreasing the toxicity of streptomycin, administered s.c. to mice. The ligands formed complexes with the antibiotics thus preventing binding of the drug to its putative toxicity receptor. The prepns. do not contain liposomes so disadvantages of liposome administration are not encountered.
- AN 1985:411476 HCAPLUS <<LOGINID::20081124>>
- DN 103:11476
- OREF 103:1897a,1900a
- TI Drug preparations of reduced toxicity
- IN Janoff, Andrew Stuart; Popescu, Mircea Constantine; Alving, Carl R.; Lenk, Robert Parker; Tremblay, Paul Alain; Fountain, Michael W.; Ostro, Marc Jeffery; Weiner, Alan Lee
- PA Liposome Co., Inc., USA
- SO S. African, 55 pp.
- CODEN: SFXXAB
- DT Patent LA English
- FAN CNT 2

E MIN.	CIVI Z				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 8403778	A	19841224	ZA 1984-3778	19840518 <
	CA 1237670	A1	19880607	CA 1984-454193	19840511 <
	US 4897384	A	19900130	US 1986-844248	19860324 <
	US 5059591	A	19911022	US 1989-405623	19890912 <
	US 5059591	B1	20000425		
PRAI	US 1983-498268	A	19830526	<	
	US 1984-604503	A	19840502	<	
	US 1986-844248	A1	19860324	<	